```
 * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:28:39 ON 02 AUG 2005

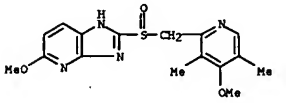
=> file reg

=> s tenatoprazole
L1            8 TENATOPRAZOLE

=> s tenatoprazole/cn
L2            1 TENATOPRAZOLE/CN

=> d scan
```

L2 1 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI)
MF C16 H18 N4 O3 S
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

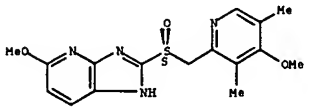
ALL ANSWERS HAVE BEEN SCANNED

10/507,485

=> d l1 scan

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI)
MF C16 H18 N4 O3 S
CI COM

Absolute stereochemistry. Rotation (-).

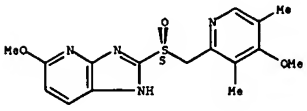


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI)
MF C16 H18 N4 O3 S . Na

Absolute stereochemistry. Rotation (-).

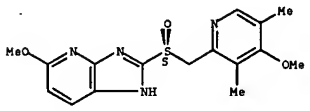


● Na

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI)
MF C16 H18 N4 O3 S . K

Absolute stereochemistry. Rotation (-).

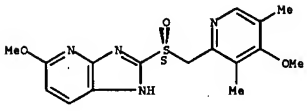


● K

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, lithium salt (9CI)
MF C16 H18 N4 O3 S . Li

Absolute stereochemistry. Rotation (-).

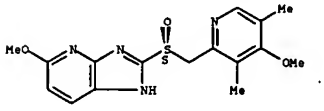


● Li

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt (9CI)
MF C16 H18 N4 O3 S . 1/2 Mg

Absolute stereochemistry. Rotation (-).

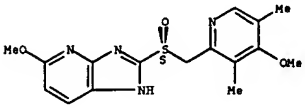


●1/2 Mg

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, calcium salt (9CI)
MF C16 H18 N4 O3 S . 1/2 Ca

Absolute stereochemistry. Rotation (-).

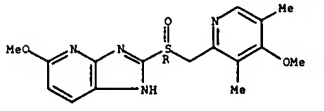


●1/2 Ca

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI)
MF C16 H18 N4 O3 S
CI COM

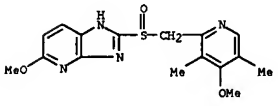
Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L1 8 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, (9CI)
MF C16 H18 N4 O3 S
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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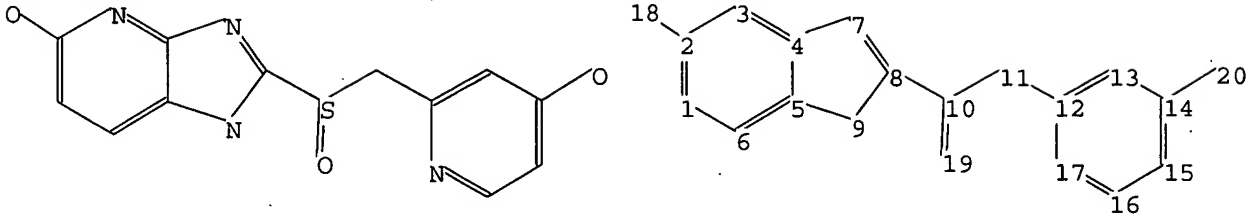

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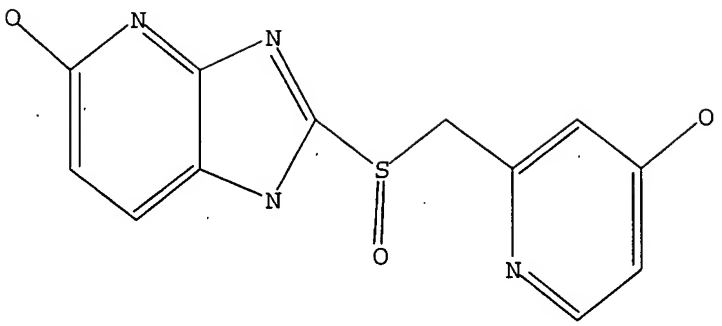
10 11 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
chain bonds :
2-18 8-10 10-11 10-19 11-12 14-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
2-18 4-7 5-9 7-8 8-9 8-10 10-11 10-19 14-20
exact bonds :
11-12
normalized bonds :



Structure attributes must be viewed using STN Express query preparation.

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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             4 TO      200
PROJECTED ANSWERS:                0 TO        0

L4             0 SEA SSS SAM L3

=>
Uploading C:\Program Files\Stnexp\Queries\12507485.str
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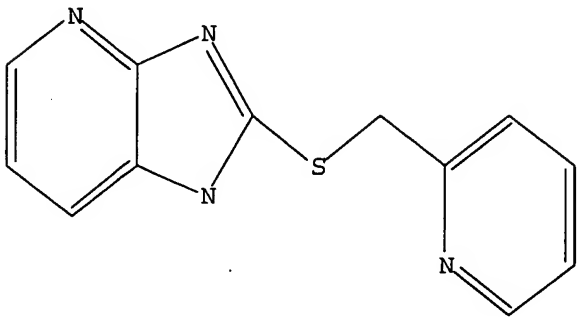
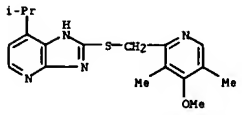
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



L6 12 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Imidazo[4,5-b]pyridine, 2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-7-(1-methylethyl)- (9CI)
MF C18 H22 N4 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

10/507,485

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L7          197 SEA SSS FUL L5

=> file ca

=> s l7
L8           83 L7

=> file reg


=> s l3 full
L9           57 SEA SSS FUL L3

=> file ca

=> s l9
L10          64 L9

=> s tenatoprazole
L11          30 TENATOPRAZOLE

=> s l10 or l11
L12          64 L10 OR L11

=> d ibib abs fhitstr 1-64
```

L12 ANSWER 1 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 143:13406 CA
TITLE: Solid pharmaceutical formulations containing proton pump inhibitors and nonsteroidal antiinflammatory agents
INVENTOR(S): Takada, Shigeyuki; Koyama, Hiroyoshi; Hamaguchi, Tadashi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

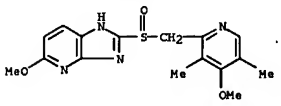
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005145894	A2	20050609	JP 2003-386548	20031117

PRIORITY APPLN. INFO.: JP 2003-386548 20031117

AB The invention relates to a solid pharmaceutical formulation characterized by containing granules or tablet of a proton pump inhibitor (PPI), and granules of a nonsteroidal antiinflammatory agent (NSAID), wherein the addition of the PPIN in the formulation prevents gastrointestinal injury due to NSAID. For example, a capsule containing lansoprazole granules (lansoprazole 30 mg) and diclofenac sodium sustained-release granules (diclofenac sodium 100 mg) was formulated.

IT **113712-98-4, Tenatoprazole**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid pharmaceutical formulations containing proton pump inhibitors and nonsteroidal antiinflammatory agents)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 143:13313 CA
TITLE: Methods and compositions for the treatment of Helicobacter pylori-associated diseases using endoperoxide bridge-containing compounds
INVENTOR(S): Marash, Michael; Kluev, Elena
PATENT ASSIGNEE(S): Vecta Ltd., Israel
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005048912	A2	20050602	WO 2004-IB3759	20041117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

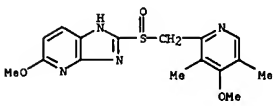
PRIORITY APPLN. INFO.: US 2003-523114P P 20031119

AB The present invention relates to methods and compns. for treating pathol. conditions associated with ferrous-dependent bacteria such as H. pylori in which high intracellular ferrous iron concentration is required for their survival and pathogenesis. The compns. of the invention comprise endoperoxide bridge-containing compds. that specifically inhibit the growth of the ferrous-dependent bacteria and preferably promote the eradication of the bacteria. The compns., typically also include at least one active agent for treating Helicobacter species-related gastrointestinal disorders, such as a proton pump inhibitor, an H2 blocker or a bismuth-containing compound Thus, each capsule contains the following ingredients: omeprazole as enteric-coated beads 40, artesunate granules 250, calcium carbonate 550, HPMC and Polox WSR-N60.

IT **113712-98-4, Tenatoprazole**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for treatment of Helicobacter pylori-associated diseases using endoperoxide bridge-containing compds.)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 2 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 3 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:469277 CA
TITLE: Chewable tablet containing an acid-labile active ingredient
INVENTOR(S): Sugaya, Masae; Koyama, Hiroyoshi; Hamaguchi, Naoru
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044223	A1	20050519	WO 2004-JP16701	20041104

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

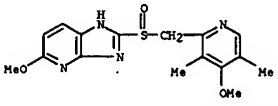
JP 2005154431	A2	20050616	JP 2004-320057	20041104

PRIORITY APPLN. INFO.: JP 2003-378470 A 20031107

AB A chewable tablet comprises a group which contains an acid-labile active ingredient and at least one basic substance selected from alkaline earth metal carbonate, metal oxide and metal hydroxide, and a group which does not contain an acid-labile active ingredient and contains at least one ingredient selected from alkaline earth metal carbonate, metal oxide and metal hydroxide, wherein said chewable tablet is capable of rapidly neutralizing gastric acid and is preferably not enteric-coated, is provided. Tablets were prepared from granules containing lansoprazole, CaCO3, D-mannitol, and hydropropyl cellulose.

IT **113712-98-4, Tenatoprazole**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chewable tablet containing an acid-labile active ingredient)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



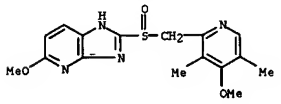
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:469276 CA
TITLE: Combination of proton pump inhibitor and sleep aid
INVENTOR(S): Hall, Warren; Olmstead, Kay; Proehl, Gerald T.
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044199	A2	20050519	WO 2004-US36989	20041105

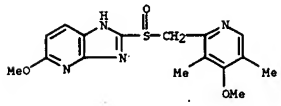
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-517743P P 20031105
AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent and a sleep aid are described. Methods are described for treating gastric acid related disorders and inducing sleep, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a sleep aid. Capsules were prepared containing omeprazole, buffers, triazolam sleep aid and excipients.
IT 113712-98-4, Tenatoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of proton pump inhibitor and sleep aid)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 5 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:441631 CA
TITLE: A comparative study of the early effects of tenatoprazole 40 mg and esomeprazole 40 mg on intragastric pH in healthy volunteers
AUTHOR(S): Galmiche, J. P.; Sacher-Huvelin, S.; Des Varannes, S. Bruley; Vavasseur, F.; Taccoen, A.; Fiorentini, F.; Homerin, M.
CORPORATE SOURCE: CIC-INSERM-CHU de Nantes, Toussus-le-Noble, Fr.
SOURCE: Alimentary Pharmacology and Therapeutics (2005), 21(5), 575-582
CODEN: APTHEN; ISSN: 0269-2813
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Background: Tenatoprazole is a novel proton pump inhibitor with a seven-hour plasma half-life. Aim: To compare the effects of tenatoprazole 40 mg and esomeprazole 40 mg on intragastric acidity during the first 48 h in healthy volunteers. Methods: This randomized two-period crossover study included 24 Helicobacter Pylori-neg. subjects; tenatoprazole 40 mg or esomeprazole 40 mg daily were given before breakfast for two consecutive days, with a 2-wk wash-out between the administration periods. Intragastric pH was monitored for 48 h. Results: Over 48 h, tenatoprazole 40 mg exerted a more potent acid inhibition than esomeprazole 40 mg (median pH: 4.3 vs. 3.9, P < 0.08; per cent of time above pH 4: 57% vs. 49%, P < 0.03; proportion of subjects with at least half of the time above pH 4: 71% vs. 46%). These differences resulted from better night-time acid control with tenatoprazole 40 mg than esomeprazole 40 mg (first night median pH: 4.2 vs. 2.9, P < 0.0001; second night: 4.5 vs. 3.2, P < 0.0001). The duration of nocturnal acid breakthroughs was significantly reduced during both nights. In contrast, no significant difference was detected during the daytime periods between both regimens. Conclusion: Over the first 48 h, tenatoprazole 40 mg achieves a better overall and night-time control of gastric pH than esomeprazole 40 mg. The translation of better early control of acidity into clin. benefits deserves further studies.
IT 113712-98-4, Tenatoprazole
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tenatoprazole 40 mg and esomeprazole 40 mg was well tolerated, suppressed acid production, where T40 was more potent than E40 in better overall night-time control with reduced nocturnal acid break through in H.pylori neg. healthy human)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

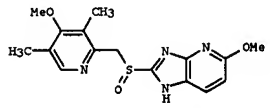


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS

L12 ANSWER 6 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:430268 CA
TITLE: Preparation of (S)- and (R)-enantiomers of tenatoprazole as H+/K+ ATPase inhibitors
INVENTOR(S): Li, Shuxin; Zhao, Yanjin; Guo, Jinhua
PATENT ASSIGNEE(S): Institute of Radiomedicine, Academy of Military Medical Science of PLA, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1453278	A	20031105	CN 2002-117637	20020510

PRIORITY APPLN. INFO.: CN 2002-117289 A 20020423
OTHER SOURCE(S): CASREACT 142:430268
GI

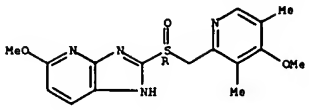


I

AB The invention is related to (S)- and (R)-enantiomers of tenatoprazole I and pharmaceutically acceptable salts thereof, their preparation and uses. (S)-I was synthesized by enantioselective oxidation of the corresponding sulfide with dicumyl peroxide in the presence of (i-PrO)4Ti and D-tartaric acid di-Et ester in 71.5% yield. (R)-I was obtained via oxidation of the corresponding sulfide with m-chloroperbenzoic acid followed by chiral HPLC resolution (37.5% yield). The two enantiomers showed stronger activity than omeprazole and comparable activity to tenatoprazole both in an inhibition assay against H+/K+ ATPase and in a gastric acid secretion-inhibition test in rat. Therefore, the invented compds. are useful for the treatment of gastric acid secretion disorders.
IT 705969-00-2P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (S)- and (R)-enantiomers of tenatoprazole as H+/K+ ATPase inhibitors)
RN 705969-00-2 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L12 ANSWER 6 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

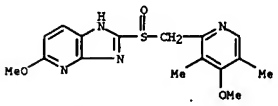


L12 ANSWER 7 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:291467 CA
TITLE: Use of known active ingredients as radical scavengers
INVENTOR(S): Simon, Wolfgang-Alexander; Sturm, Ernst
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005025569	A1	20050324	WO 2004-EP52233	20040917

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-21094 A 20030918
AB The invention relates to the use of certain proton pump inhibitors in the treatment of pathol. manifestations induced or influenced by free radicals.
IT **113712-98-4, Tenatoprazole**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of known active ingredients as radical scavengers)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

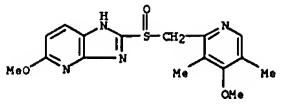


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:285224 CA
TITLE: Pharmaceutical compositions comprising substituted benzimidazole proton pump inhibitors and buffering agents, and methods of use
INVENTOR(S): Phillips, Jeffrey O.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 56 pp., Cont.-in-part of U.S. Ser. No. 722,184.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005054682	A1	20050310	US 2004-898135	20040723
US 5840737	A	19981124	US 1996-680376	19960715
US 6489346	B1	20021203	US 2000-481207	20000111
US 2002045646	A1	20020418	US 2001-901942	20010709
US 6645988	B2	20031111		
US 2003191159	A1	20031009	US 2002-54350	20020119
US 6699885	B2	20040302		
US 2004171646	A1	20040902	US 2003-722184	20031125
PRIORITY APPLN. INFO.:			US 1996-9608P P	19960104
			US 1996-680376 A2	19960715
			US 1998-183422 B2	19981030
			US 2000-481207 A2	20000111
			US 2001-901942 A2	20010709
			US 2002-54350 A1	20020119
			US 2003-722184 A2	20031125

AB The invention discloses, inter alia, pharmaceutical compns. comprising at least one proton pump inhibitor and at least one buffering agent. Compns. of the invention are useful in treating, inter alia, gastric acid related disorders.
IT **113712-98-4, Tenatoprazole**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising substituted benzimidazole proton pump inhibitors and buffering agents, and methods of use)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

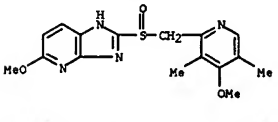


L12 ANSWER 9 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:191277 CA
TITLE: Alkaline salts of proton pump inhibitors
INVENTOR(S): Sturm, Ernst; Hummel, Rolf-Peter; Kohl, Bernhard; Mueller, Bernd
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011692	A1	20050210	WO 2004-EP51578	20040722

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-16759 A 20030723
EP 2003-16760 A 20030723
AB The invention relates to alkaline salts of proton pump inhibitors and to medicaments comprising these compds. Accordingly, the invention provides in a general aspect alkaline reacting salts of pyridin-2-ylmethylsulfinyl-1H-benzimidazoles with H+/K+-ATPase-inhibitory activity.
IT **113712-98-4D, Tenatoprazole, metal salts**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkaline salts of proton pump inhibitors such as pyridin-2-ylmethylsulfinyl-1H-benzimidazoles with H+/K+-ATPase-inhibitory activity for treatment of gastrointestinal disorders)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

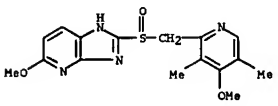
L12 ANSWER 10 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:183479 CA
TITLE: Immediate-release formulation of acid-labile drugs
INVENTOR(S): Phillips, Jeffrey O.; Widder, Ken J.
PATENT ASSIGNEE(S): The Curators of the University of Missouri, USA; Santarus, Inc.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009381	A2	20050203	WO 2004-US23558	20040722
WO 2005009381	A3	20050616		

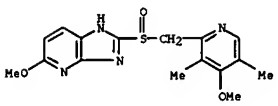
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005112193	A1	20050526	US 2004-896682	20040722

PRIORITY APPLN. INFO.: US 2003-489363P P 20030723
AB The present invention provides, inter alia, compns. comprising a pH buffering agent and a controlled-release component containing an acid-labile pharmaceutical. Methods of using such compns. are also provided. Microgranules of omeprazole were coated with Eudragit L30D-55.
IT **113712-98-4, Tenatoprazole**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immediate-release formulation of acid-labile drugs)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 11 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 11 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:183427 CA
TITLE: Pharmaceutical formulation and method for treating acid-caused gastrointestinal disorders
INVENTOR(S): Hall, Warren; Olmstead, Kay; Weston, Laura
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007117	A2	20050127	WO 2004-US23044	20040716
WO 2005007117	A3	20050616		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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US 2005031700	A1	20050210	US 2004-893092	20040716

PRIORITY APPLN. INFO.: US 2003-488324P P 20030718
AB Oral pharmaceutical formulations in the form of a powder for suspension comprising (i) at least one proton pump inhibitor in micronized form; (ii) at least one antacid; and (iii) at least one suspending agents are provided. Also provided are methods for making and using pharmaceutical formulations comprising at least one proton pump inhibitor and at least one antacid. For example, an omeprazole powder for suspension was prepared containing sodium bicarbonate for protecting omeprazole from acid degradation in vivo. The powder comprised omeprazole 20 mg, sodium bicarbonate 1895 mg, xylitol 300 (sweetener) 2000 mg, sucrose powder (sweetener) 1750 mg, sucralose (sweetener) 125 mg, xanthan gum 17 mg, peach flavor 47 mg, and peppermint 26 mg.
IT **113712-98-4, Tenatoprazole**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral formulations containing antacid and proton pump inhibitor for treating acid-caused gastrointestinal disorders)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 12 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:183426 CA
TITLE: Pharmaceutical formulations useful for inhibiting acid secretion
INVENTOR(S): Hall, Warren; Olmstead, Kay; Weston, Laura
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007115	A2	20050127	WO 2004-US22914	20040716
WO 2005007115	A3	20050428		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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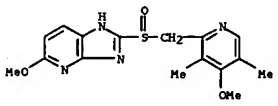
US 2005037070	A1	20050217	US 2004-893203	20040716

PRIORITY APPLN. INFO.: US 2003-488321P P 20030718
AB In one general aspect of the present invention, oral pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated with a material that enhances the shelf-life of the pharmaceutical composition and one or more antacid are described. In another general aspect of the present invention, pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated with a taste-masking material and one or more antacid are described. For example, omeprazole was microencapsulated by spray drying of an aqueous mixture of Kollicoat IR, PEG 3350 and EHT at 10% of the encapsulated material. Encapsulated omeprazole (40 mg potency), sodium bicarbonate (1260 mg), calcium carbonate (790 mg), croscarmellose sodium (64 mg), Klucel (160 mg), Xylitab 100 (380 mg), microcryst. cellulose (128 mg), sucralose (162 mg), peppermint durarome (34 mg), peach flavor (100 mg), masking powder (60 mg), FD&C Lake Number 40 Red (3 mg), and magnesium stearate (32 mg) were pressed into chewable tablets with diams. of about 10 mm and average weight of approx. 600 mg per tablet.
IT **113712-98-4, Tenatoprazole**
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral formulations containing antacid and microencapsulated proton pump inhibitor for inhibition of gastric acid secretion)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 12 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 13 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 142:141266 CA
TITLE: Solid composition comprising a proton pump inhibitor and therapeutic uses for gastrointestinal disorders
INVENTOR(S): Blychert, Eva; Janssen, Marjo
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004921	A1	20050120	WO 2004-SE1113	20040708

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

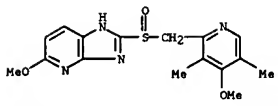
PRIORITY APPLN. INFO.: US 2003-486795P P 20030711

AB The present invention related to a method for oral administration of a solid composition comprising an acid labile proton pump inhibitor compound in the form of a multiple of enteric coating layered pellets, wherein the pellets are in admixt. with one or more pharmaceutically acceptable thickeners and an aqueous carrier, and the thickener is capable of forming a viscous medium when dispersed in the aqueous carrier. Alternatively, the enteric coated pellets are in admixt. with a viscous aqueous medium. The formed aqueous viscous suspension is to be administered via a gastric tube. The method and composition are especially aimed for treatment of patients in need of a proton pump inhibitor, i.e. in the treatment of gastrointestinal disorders and having difficulties to swallow or for pediatric patients.

IT **113712-98-4, Tenatoprazole**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid composition comprising proton pump inhibitor and therapeutic uses for gastrointestinal disorders)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 13 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

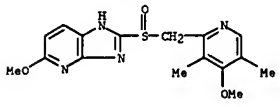
L12 ANSWER 14 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 142:28328 CA
TITLE: Detection of related substances by RP-HPLC in **tenatoprazole** tablets
AUTHOR(S): Xu, Song-lin; Wang, Dong-kai; Liu, Lai; Gao, Fei; Cheng, Mao-sheng; Li, Hong-bin
CORPORATE SOURCE: Department of Pharmaceutics, Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China
SOURCE: Zhongguo Xinyao Zazhi (2004), 13(9), 823-825
CODEN: ZXZHA6; ISSN: 1003-3734
PUBLISHER: Zhongguo Xinyao Zazhishe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB A method to determine the related substances in **tenatoprazole** tablets by RP-HPLC was established. The following assay conditions were established: Cra column (250 mm R 4.6mm, 5 m) as stationary phase; acetonitrile-phosphate buffers solution (30:70) as the mobile phase, and the detection wavelength at 306 nm. Separation of **tenatoprazole** from the related substances was attained. Three batches of samples were tested for the related substances. The result was 0.63%, 0.71%, 0.76%, resp. The simple and accurate method can be used to detect the related substances in **tenatoprazole** tablets.

IT **113712-98-4, Tenatoprazole**
RL: ANT (Analyte); ANST (Analytical study)
(determination of **tenatoprazole** in tablets by RP-HPLC)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

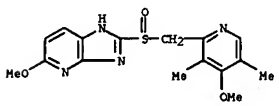


L12 ANSWER 15 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:28146 CA
TITLE: Extended release compositions of proton pump inhibitors
INVENTOR(S): Wood, Ray
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

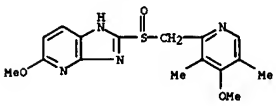
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103291	A2	20041202	WO 2004-US15076	20040513
WO 2004103291	A3	20050324		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-470876P P 20030516
US 2003-485744P P 20030710
OTHER SOURCE(S): MARPAT 142:28146
AB The invention provides extended release compns. comprising at least one proton pump inhibitor. The invention also provides methods for treating gastrointestinal disorders by administering the compns. of the invention to patients in need of gastrointestinal therapy.
IT 113712-98-4, Tenatoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(extended release compns. of proton pump inhibitors)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:360444 CA
TITLE: Tenatoprazole, a novel proton pump inhibitor with a prolonged plasma half-life: effects on intragastric pH and comparison with esomeprazole in healthy volunteers
AUTHOR(S): Galmiche, J. P.; des Varannes, S. Bruley; Ducrotte, P.; Sacher-Huvelin, S.; Vavasseur, F.; Taccoen, A.; Fiorentini, P.; Homerin, M.
CORPORATE SOURCE: CIC-INSERM, CHU de Nantes, Nantes, Fr.
SOURCE: Alimentary Pharmacology and Therapeutics (2004), 19(6), 655-662
CODEN: APTHEN; ISSN: 0269-2813
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Background: Proton pump inhibitors control gastric acidity better during the day than at night, when nocturnal acid breakthrough can occur. Tenatoprazole is a novel proton pump inhibitor with a seven-fold longer plasma half-life. Aim: To compare the effects of tenatoprazole 20 mg (T20), tenatoprazole 40 mg (T40) and esomeprazole 40 mg (E40) on intragastric acidity in healthy volunteers. Methods: This randomized, three-period, cross-over study enrolled 18 Helicobacter pylori-neg. volunteers, who received E40, T20 and T40 once daily for 7 days with a 14-day washout between periods. Twenty-four-hour gastric pH monitoring was performed on day 7. Serum gastrin was assessed on day 8. Results: T40 induced a more potent acid inhibition than T20 (24-h median pH: 4.6 vs. 4.0, P < 0.01; daytime: 4.5 vs. 3.9, P < 0.01; night-time: 4.7 vs. 4.1, P < 0.05). T40 was more potent than E40 (24-h median pH: 4.6 vs. 4.2, P < 0.05; night-time: 4.7 vs. 3.6, P < 0.01); the pH > 4 holding time was higher during the night for T40 than for E40: 64.3% vs. 46.8%, P < 0.01; the nocturnal acid breakthrough duration was significantly shorter for T40 than for E40. No significant gastrin increase was observed and all drugs were well tolerated. Conclusion: T40 is significantly more potent than T20 and E40 during the night. The therapeutic relevance of this pharmacol. advantage deserves further study.
IT 113712-98-4, Tenatoprazole
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tenatoprazole with prolonged plasma half-life and esomeprazole were well tolerated, highly effective in controlling intragastric pH with no significant gastrin increase, but T40 was more potent than T20, E40 during night in healthy human)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS

L12 ANSWER 16 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:332197 CA
TITLE: Method for the enantioselective preparation of sulfoxide derivatives by asymmetric oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands, and its application to the enantioselective preparation of tenatoprazole and omeprazole enantiomers
INVENTOR(S): Cohen, Avraham; Charbit, Suzy; Schutze, Francois; Martinet, Frederic
PATENT ASSIGNEE(S): Sidem Pharma, Luxembourg
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087702	A2	20041014	WO 2004-FR778	20040326
WO 2004087702	A3	20041111		

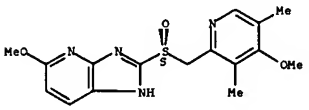
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

FR 2852956	A1	20041001	FR 2003-3914		20030328
FR 2863611	A1	20050617	FR 2003-14679		20031215
PRIORITY APPLN. INFO.:			FR 2003-3914	A	20030328
			FR 2003-14679	A	20031215

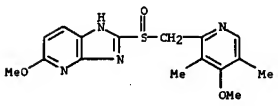
OTHER SOURCE(S): MARPAT 141:332197
AB The invention relates to a method for the enantioselective preparation of substituted sulfoxide derivs. by asym. oxidation of corresponding sulfides. The method comprises enantioselective oxidation of a sulfide A-CH2-S-B, where
A is a variably substituted pyridyl nucleus and B is a heterocyclic group with a benzimidazole or imidazopyridyl nucleus, by an oxidizing agent in the presence of a W- or V-based catalyst and a chiral ligand, followed, where necessary, by salt formation with a base, to give a sulfoxide: A-CH2-SO-B. The method is applicable to the enantioselective preparation of compds. such as the enantiomers of tenatoprazole and other comparable sulfoxides. Oxidants include H2O2, urea-H2O2, cumene hydroperoxide, and tert-BuOOH. Catalysts include WO3, vanadium acetylacetonate, and vanadium sulfate. Chiral ligands include amino alcs., amino ethers, amino acids and esters, and salicylaldehyde imine derivs. of these. For instance, the sulfide 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine was oxidized by 30% H2O2 using WO3 and the chiral amino ether (DHQD)2-PYR (a cinchonan alkaloid) in THF at 4-5° to give (S)-(-)-tenatoprazole in 70% yield and > 90% enantiomeric excess (ee). Recrystn. from MeOH/H2O or DMF/EtOAc increased the ee to > 99%. A similar run using (DHQ)2-PYR as the chiral ligand gave (R)-(+)-tenatoprazole in 99% ee after recrystn. from DMF/EtOAc. Likewise, using (DHQD)2-PYR, (S)-(-)-omeprazole was obtained in a yield of 72% and approx. 90% initial ee.

L12 ANSWER 17 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
IT **705968-86-1P**, (S)-(-)-**Tenatoprazole**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(target compound; enantioselective preparation of sulfoxides by asym. oxidation
of sulfides with vanadium or tungsten catalysts and chiral ligands and application to **tenatoprazole** and omeprazole enantiomers)
RN 705968-86-1 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L12 ANSWER 18 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:320050 CA
TITLE: Controlled-release compositions containing proton pump inhibitors
INVENTOR(S): Nagahara, Naoki; Miyamoto, Keiko; Akiyama, Yohko
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082665	A1	20040930	WO 2004-JP3483	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004300149	A2	20041028	JP 2004-75037	20040316

PRIORITY APPLN. INFO.: JP 2003-72858 A 20030317
AB It is intended to provide a controlled release composition in which the release of its active ingredient (a proton pump inhibitor) is controlled in two or more steps with different release speeds. This composition, which comprises (1) a release-controlling part A capable of controlling the release speed of the active ingredient at a definite level, and (2) a release-controlling part B capable of controlling the release speed of the active ingredient at a definite level which is lower than the release speed in the release-controlling part A, optionally together with (3) a release-controlling part C capable of controlling the release speed of the active ingredient at a definite level which is higher than the release speed in the release-controlling part B, if necessary, is characterized in that the release of the active ingredient in the release-controlling part B is first made followed by the release of the active ingredient in the release-controlling part A (in the case of having the release-controlling part C, the release of the active ingredient in the release-controlling part C is first made followed by the release of the active ingredient in the release-controlling part B). Thus, a core tablet prepared from R-lansoprazole 113, lactose 303, corn starch 50, low-substituted hydroxypropyl cellulose (L-HPC) 35 mg was layered with an outer layer material coating R-lansoprazole 33.8, hydroxypropyl Me cellulose (Metolose 65SH-4000) 116.3 mg to obtain a controlled-release tablet.
IT **113712-98-4**, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of proton pump inhibitors for controlled-release compns.)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-

L12 ANSWER 19 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:314327 CA
TITLE: Process for preparation of sulfoxides, in particular enantiomers of **tenatoprazole** and its related derivatives by enantioselective oxidation of sulfides
INVENTOR(S): Schutze, Francois; Charbit, Suzy; Cohen, Avraham; Martinet, Frederic
PATENT ASSIGNEE(S): Negma Gild, Fr.
SOURCE: Fr. Demande, 21 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2852956	A1	20041001	FR 2003-3914	20030328
WO 2004087702	A2	20041014	WO 2004-FR778	20040326
WO 2004087702	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

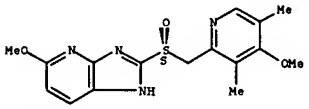
PRIORITY APPLN. INFO.: FR 2003-3914 A 20030328
FR 2003-14679 A 20031215
OTHER SOURCE(S): MARPAT 141:314327
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to a method of preparation of sulfoxides and their basic salts, of formula A-CH2-S-B, in particular enantiomers of **tenatoprazole** (I) and derivs., by enantioselective oxidation of a sulfide of formula A-CH2-SO-B with an oxidation agent in the presence of a catalyst containing tungsten or of vanadium and of a chiral ligand, of formula RO-CR1R2-CR3R4-NR5R6, followed if necessary by base treatment [wherein A = substituted pyridinyl; B = benzimidazolyl, imidazopyridyl; R = H, alkyl, hetero/aryl; R1, R2, R3, R4 = independently alkyl, hetero/aryl with provisos; R5, R6 = alkyl; or NR5R6 = heterocyclyl, -N:CHAr; Ar = substituted aryl]. The method provides high enantiomeric excess (e.e.) values (> 90%). Thus, oxidation of sulfide II with H2O2 in the presence of WO3, ligand III in THF gave (S)-(-)-I in > 99% e.e.
IT **705968-86-1P**, (-)-5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridine
RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation)
(sulfoxide product; preparation of sulfoxides, in particular enantiomers of **tenatoprazole** and its related derivs., by enantioselective oxidation of sulfides)

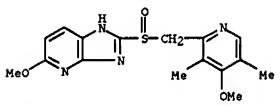
L12 ANSWER 19 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
RN 705968-86-1 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
concn. to spherical core)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:282815 CA
TITLE: Drug composition having active ingredient adhered at high concentration to spherical core
INVENTOR(S): Yoneyama, Shuji; Bando, Hiroto
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

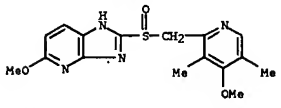
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080439	A1	20040923	WO 2004-JP3075	20040310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004292442	A2	20041021	JP 2004-66456	20040310

PRIORITY APPLN. INFO.: JP 2003-66344 A 20030312
OTHER SOURCE(S): MARPAT 141:282815
AB Granule, fine particle or tablet of excellent leaching property, comprising a drug active ingredient in high content realized by forming a layer containing drug active ingredient on core particles through a combination of a method of dispersing and adhering an active ingredient while spraying or adding a binder with a method of spraying or adding a solution or suspension wherein an active ingredient and a binder are contained so as to effect adhesion. Further, there are provided a drug composition containing such a granule, fine particle or tablet and a process for producing the same. Thus, original granules of crystalline cellulose were prepared by spraying a composition (R)-lansoprazole (I), crystalline cellulose, magnesium carbonate, and hydroxypropyl cellulose to crystalline cellulose. The obtained granules were further coated with a 1st coating material containing I, magnesium carbonate, sucrose, and hydroxypropyl cellulose, a 2nd coating material containing hydroxypropyl Me cellulose, talc, and titanium oxide, and then an enteric coating material containing methacrylic acid copolymer, talc, macrogol, titanium oxide, and polysorbate 80, or another enteric coating material containing different methacrylic acid copolymers, talc, and tri-Et citrate. The granules with different enteric coatings were mixed and filled in capsules.
IT **113712-98-4**, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of drug composition containing proton pump inhibitors adhered at high

L12 ANSWER 21 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:254601 CA
TITLE: Preventive or remedy for teeth grinding containing gastric acid inhibitors
INVENTOR(S): Miyawaki, Shouichi; Yamamoto, Teruko
PATENT ASSIGNEE(S): Eisai Co. Ltd., Japan
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080487	A1	20040923	WO 2004-JP939	20040130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2003-68755 A 20030313
AB It is intended to provide a preventive or a remedy for teeth grinding and diseases relating thereto which contains as the active ingredient at least one member selected from among proton pump inhibitors, histamine H2 receptors and acid pump antagonists. Examples of the proton pump inhibitors include rabeprazole, omeprazole, esomeprazole, lansoprazole, pantoprazole, tenatoprazole, salts thereof and hydrates of the same. The effect of rabeprazole sodium salt tablet (Pariet) in patients with teeth grinding was examined
IT **113712-98-4**, Tenatoprazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preventive or remedy for teeth grinding and teeth grinding-related disease containing gastric acid inhibitors)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 141:248724 CA
TITLE: The enantiomers of tenatoprazole for therapeutic uses
INVENTOR(S): Yamashita, Setsuo; Ebina, Kengo
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074285	A1	20040902	WO 2004-JP2087	20040223

W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

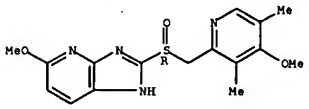
PRIORITY APPLN. INFO.: JP 2003-46335 A 20030224

AB This invention relates to (+)- and (-)- enantiomers of tenatoprazole. The compds. and pharmaceutical compns. are useful as antiulcer agents. Thus, tablets contained (-)-tenatoprazole 30.0, lactose 40.0, aluminum hydroxide 17.5, hydroxypropyl cellulose 8.0, talc 4.5, TiO2 5.0, Mg stearate 20, and usual excipients 160.0 mg.

IT 705969-00-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((+)-tenatoprazole; enantiomers of tenatoprazole for therapeutic uses)

RN 705969-00-2 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

L12 ANSWER 23 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 141:230698 CA
TITLE: Omeprazole antacid complex-immediate release for rapid and sustained suppression of gastric acid
INVENTOR(S): Hepburn, Bonnie; Goldlust, Barry
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073654	A2	20040902	WO 2004-US5170	20040220
WO 2004073654	A3	20050113		

W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004248942	A1	20041209	US 2004-783871	20040220

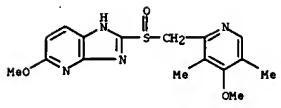
PRIORITY APPLN. INFO.: US 2003-448627P P 20030220

AB The present invention is directed to methods, kits, combinations, and compns. for treating, preventing or reducing the risk of developing a gastrointestinal disorder or disease, or the symptoms associated with, or related to a gastrointestinal disorder or disease in a subject in need thereof. In one aspect, the present invention provides a pharmaceutical composition comprising a proton pump inhibiting agent and a buffering agent for oral administration and ingestion by a subject. Upon administration, the composition contacts the gastric fluid of the stomach and increases the gastric fluid pH of the stomach to a pH that substantially prevents or inhibits acid degradation of the proton pump inhibiting agent in the gastric fluid and allows a measurable serum concentration of the proton pump inhibiting agent to be absorbed into the blood serum of the subject. Omeprazole powder plus a chewable tablet of NaHCO3 and CaCO3 resulted in more rapid absorption in humans when compared to a marketed omeprazole delayed-release formulation.

IT 113712-98-4, Tenatoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(omeprazole antacid complex-immediate release for rapid and sustained suppression of gastric acid)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

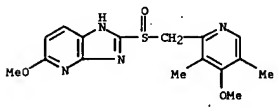
L12 ANSWER 23 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



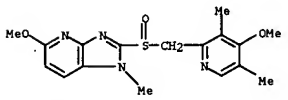
L12 ANSWER 24 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:145707 CA
TITLE: Method for the administration of acid-labile drugs using basic salts with calcium, magnesium or aluminum
INVENTOR(S): Sharma, Virender K.; Howden, Colin W.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Ser. No. 824,847.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004146554	A1	20040729	US 2004-755656	20040112
US 2002146451	A1	20021010	US 2001-824847	20010404
PRIORITY APPLN. INFO.:			US 2000-218509P P	20000715
			US 2001-824847 A2	20010404

AB A method for the formulation and delivery for administration of acid-labile drugs to human beings and other animals achieved by mixing the active pharmaceutical compound with a basic salt as one of calcium, magnesium and aluminum in a solution or suspension of any kind, where the basic salt solution or suspension protects the pharmaceutical compound from the adverse effects of gastric acid by neutralizing gastric acid. When calcium is used, it has the advantage of no obvious contraindications and is generally usable by all patients, especially those patients who have diseases in which sodium is contraindicated.
IT **113712-98-4, Tenatoprazole**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as acid-labile drug; acid-labile drug formulations as basic salts with calcium, magnesium or aluminum)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 25 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 25 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:116452 CA
TITLE: Chemistry of Covalent Inhibition of the Gastric (H+, K+)-ATPase by Proton Pump Inhibitors
AUTHOR(S): Shin, Jai Moo; Cho, Young Moon; Sachs, George
CORPORATE SOURCE: Department of Physiology and Medicine, University of California, Los Angeles, CA, 90073, USA
SOURCE: Journal of the American Chemical Society (2004), 126(25), 7800-7811
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:116452

AB Proton pump inhibitors (PPIs), drugs that are widely used for treatment of acid related diseases, are either substituted pyridylmethylsulfinyl benzimidazole or imidazopyridine derivs. They are all prodrugs that inhibit the acid-secreting gastric (H+, K+)-ATPase by acid activation to reactive thiophiles that form disulfide bonds with one or more cysteines accessible from the exoplasmic surface of the enzyme. This unique acid-catalysis mechanism had been ascribed to the nucleophilicity of the pyridine ring. However, the data obtained here show that their conversion to the reactive cationic thiophilic sulfenic acid or sulfenamide depends mainly not on pyridine protonation but on a second protonation of the imidazole component that increases the electrophilicity of the C-2 position on the imidazole. This protonation results in reaction of the C-2 with the unprotonated fraction of the pyridine ring to form the reactive derivs. The relevant PPI pKa's were determined by UV spectroscopy of the benzimidazole or imidazopyridine sulfinylmethyl moieties at different medium pH. Synthesis of a relatively acid stable analog, N1-Me lansoprazole, allowed direct determination of both pKa values of this intact PPI allowing calcn. of the two pKa values for all the PPIs. These values predict their relative acid stability and thus the rate of reaction with cysteines of the active proton pump at the pH of the secreting parietal cell. The PPI accumulates in the secretory canaliculus of the parietal cell due to pyridine protonation then binds to the pump and is activated by the second protonation on the surface of the protein to allow disulfide formation.
IT **721924-07-8P**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chemical of covalent inhibition of gastric (H+, K+)-ATPase by proton pump inhibitors)
RN 721924-07-8 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-methyl- (9CI) (CA INDEX NAME)

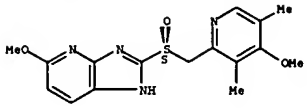
L12 ANSWER 26 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:71546 CA
TITLE: Process for preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and 2-(2-pyridylmethylsulfinyl)-1H-imidazo[4,5-b]pyridine as proton pump inhibitors (PPI)
INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen
PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052882	A1	20040624	WO 2003-EP13605	20031203
W: AE, AL, AU, BA, BR, CA, CN, CO, CZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			EP 2002-27273	A 20021206
			DE 2003-10340255	A 20030829

AB Described is a process for preparing optically pure PPI having a sulfinyl structure in enantiomerically pure or enantiomerically enriched form by oxidation of the corresponding sulfides in the presence of a chiral zirconium or hafnium complex. Thus, 20.2 g 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole together with 17.9 g di-Et (+)-tartrate, 13.4 g zirconium(IV) isopropoxide/isopropanol complex and 0.1 mL H2O were suspended in 100 mL Me iso-Bu ketone and heated at 40° for one hour to give an almost clear solution After cooling to room temperature, 4.1 mL N-ethyldiisopropylamine was added, followed by slowly metering 11 mL cumene hydroperoxide, and the mixture was stirred at room temperature until the oxidation process to give, after workup, (-)-pantoprazole as a beige powder of m.p. 145° (decomposition) and an optical purity of >95%. After recrystn. from isopropanol, a clear crystal of m.p. 147-149° (decomposition) with an optical rotation of a D20 = -140° (c = 0.5, MeOH) was obtained.
IT **705968-86-1P**, (S)-5-Methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridylmethyl)sulfinyl]-1H-imidazo[4,5-b]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparing optically pure 2-(2-pyridyolmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)
RN 705968-86-1 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L12 ANSWER 26 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 27 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 141:54339 CA

TITLE: **Tenatoprazole** enantiomer with improved pharmacokinetic behavior, and its therapeutic application in the treatment of digestive pathologies

INVENTOR(S): Schutze, Francois; Charbit, Suzy; Fichaux, Herve; Homerin, Michel; Taccoen, Alain; Cohen, Avraham

PATENT ASSIGNEE(S): Negma Gild, Fr.

SOURCE: Fr. Demande, 15 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2848555	A1	20040618	FR 2002-15949	20021216
WO 2004060891	A1	20040722	WO 2003-FR3746	20031216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005119298	A1	20050602	US 2003-507485	20031216

PRIORITY APPLN. INFO.: FR 2002-15949 A 20021216
WO 2003-FR3746 W 20031216

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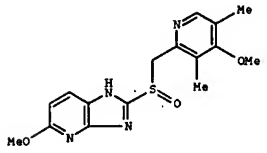

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AB The invention relates to the (-)-enantiomer of **tenatoprazole**, i.e., (-)-I, or (-)-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridine. This enantiomer has improved pharmacokinetic properties relative to racemic I, allowing a posol. of only one dose of drug per day in indicated usages. (-)-I is applicable to treatment of digestive pathologies. Claims cover (-)-I and salts, preparation of (-)-I by chiral chromatog. of the racemate, compns.

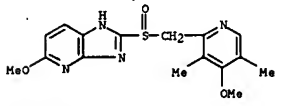
L12 ANSWER 27 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

contg. (-)-I and salts, particularly the Na, K, Li, Mg, and Ca salts, and the use of these compds. for treatment of a variety of specific conditions, or for inhibition of acid secretion. For instance, sepn. of 2 g (±)-I on a 265×110 mm ChiralPak column contg. an amylose tris[(S)-α-methylbenzylcarbamate] stationary phase at ambient temp. gave (-)-I. Pharmacokinetic studies in Caucasians show that a mutation of cytochrome 2C19 gives rise to fast and slow metabolizers of I, which leads to plasma accumulation of (+)-I in CYP2C19*2/*2-homozygous slow metabolizers, and a higher proportion of (-)-I in CYP2C19*1/*1-homozygous fast metabolizers. It appears that (+)-I is metabolized predominantly by CYP2C19, whereas (-)-I is metabolized by 2 routes, CYP2C19 and CYP3A4. Thus, therapy with (-)-I offers the advantages of reduced variability between patients, better utilization, longer mean residence time, and reduced potential for drug interaction by compensation for potential CYP2C19 blockage. (-)-I has a plasmatic half-life of 10-12 h at 20-80 mg doses, whereas (±)-I has a half-life of 7 h at 20 mg and 9 h at 80 mg.

IT **113712-98-4, (±)-Tenatoprazole**
RL: PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); BIOL (Biological study); PROC (Process)
(chromatog. resolution; preparation of **tenatoprazole** enantiomer with improved pharmacokinetic behavior, for treatment of digestive disorders)

RN 113712-98-4 CA

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:380603 CA

TITLE: Controlled release preparation containing proton pump inhibitors

INVENTOR(S): Akiyama, Yohko; Kurasawa, Takashi; Bando, Hiroto; Nagahara, Naoki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 371 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035020	A2	20040429	WO 2003-JP13155	20031015
WO 2004035020	A3	20040624		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2499574	AA	20040429	CA 2003-2499574	20031015
JP 2004292427	A2	20041021	JP 2003-354900	20031015
EP 1553929	A2	20050720	EP 2003-754116	20031015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-301876 A 20021016
JP 2003-66336 A 20030312
WO 2003-JP13155 W 20031015

OTHER SOURCE(S): MARPAT 140:380603

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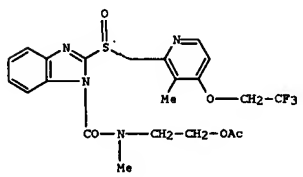


I

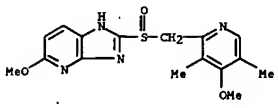
AB A controlled release preparation wherein the release of active ingredient is controlled, which releases an active ingredient for an extended period of time by staying or slowly migrating in the gastrointestinal tract, is provided by means such as capsulating a tablet, granule or fine granule

L12 ANSWER 28 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
wherein the release of active ingredient is controlled and a gel-forming polymer. Said tablet, granule or fine granule has a release-controlled coating-layer formed on a core particle contg. an active ingredient. Many compds. such as I were prepd. and formulations given, e.g., granules contg. sucrose-starch spheres, R-lansoprazole, Mg carbonate, purified sucrose, corn starch, low-substituted hydroxypropyl cellulose, and hydroxpropyl cellulose.

IT 113712-98-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(controlled release preparation containing proton pump inhibitors)

RN 113712-98-4 CA

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 29 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 29 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:344896 CA

TITLE: Pharmaceutical composition comprising **tenatoprazole** and an anti-inflammatory drug

INVENTOR(S): Schutze, Francois; Charbit, Suzy; Ficheux, Herve; Homerin, Michel; Taccoen, Alain; Inaba, Yoshio

PATENT ASSIGNEE(S): Negma Gild, Fr.; Mitsubishi Pharma Corporation

SOURCE: Fr. Demande, 15 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2845917	A1	20040423	FR 2002-13115	20021021
CA 2503211	AA	20040506	CA 2003-2503211	20031021
WO 2004037254	A1	20040506	WO 2003-FR3120	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1553942	A1	20050720	EP 2003-778425	20031021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

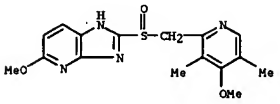
PRIORITY APPLN. INFO.: FR 2002-13115 A 20021021
WO 2003-FR3120 W 20031021

AB A pharmaceutical composition comprises a combination of **tenatoprazole** and one or more NSAID and the inhibitors of cyclooxygenase-2 inhibitors for the treatment of the painful and inflammatory symptoms. A tablet contained **tenatoprazole** 20, diclofenac 100, and excipients q.s. 300 mg. Efficacy of the tablet in the treatment of patients with inflammation and pain is shown.

IT **113712-98-4, Tenatoprazole**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising **tenatoprazole** and anti-inflammatory drugs)

RN 113712-98-4 CA

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 30 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:344895 CA

TITLE: Pharmaceutical composition comprising **tenatoprazole** and an H2histamine receptor antagonist

INVENTOR(S): Schutze, Francois; Charbit, Suzy; Ficheux, Herve; Homerin, Michel; Taccoen, Alain; Inaba, Yoshio

PATENT ASSIGNEE(S): Negma Gild, Fr.; Mitsubishi Pharma Corporation

SOURCE: Fr. Demande, 13 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2845916	A1	20040423	FR 2002-13114	20021021
CA 2503215	AA	20040506	CA 2003-2503215	20031021
WO 2004037256	A1	20040506	WO 2003-FR3124	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1553944	A1	20050720	EP 2003-778429	20031021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

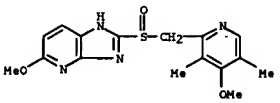
PRIORITY APPLN. INFO.: FR 2002-13114 A 20021021
WO 2003-FR3124 W 20031021

AB A new pharmaceutical composition for the treatment of gastric hyperacidity comprises **tenatoprazole** and one or more antagonists of H2-histamine receptors such as cimetidine, ranitidine, famotidine, and nizatidine. The composition is used for the treatment of the gastric and duodenal ulcers, and the symptoms and lesions of the gastro-esophagus reflux. A tablet contained **tenatoprazole** 20, ranitidine 200, and excipients q.s. 300 mg. Efficacy of the tablet in the treatment of patients with gastro-esophagus reflux is shown.

IT **113712-98-4, Tenatoprazole**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising **tenatoprazole** and H2-histamine receptor antagonist)

RN 113712-98-4 CA

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 30 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 31 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:315073 CA
TITLE: Use of tenatoprazole for the treatment of the gastroesophageal reflux
INVENTOR(S): Schutze, Francois; Charbit, Suzy; Ficheux, Herve; Homerin, Michel; Taccoen, Alain; Inaba, Yoshio
PATENT ASSIGNEE(S): Negma Gild, Fr.; Mitsubishi Pharma Corporation
SOURCE: Fr. Demande, 21 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

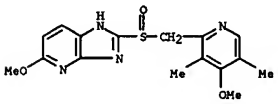
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2845915	A1	20040423	FR 2002-13113	20021021
CA 2503212	AA	20040506	CA 2003-2503212	20031021
WO 2004037255	A1	20040506	WO 2003-FR3122	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1553943	A1	20050720	EP 2003-778427	20031021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: FR 2002-13113 A 20021021
WO 2003-FR3122 W 20031021

AB The invention relates to a new therapeutic indication of tenatoprazole. Tenatoprazole, like its salts, can be used in the manufacture of a drug for the treatment of the atypical symptoms of gastroesophageal reflux, Gastrointestinal bleedings, and dyspepsias.

IT 113712-98-4, Tenatoprazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of tenatoprazole for treatment of gastroesophageal reflux)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



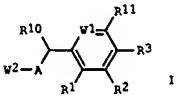
L12 ANSWER 31 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:163865 CA
TITLE: Preparation of nitrosated (pyridylmethylsulfinyl)benzimidazolecarboxylate derivatives as proton pump inhibitors
INVENTOR(S): Fang, Xinqin; Garvey, David S.; Letts, L. Gordon
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 47 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004024014	A1	20040205	US 2003-631782	20030801
CA 2493618	AA	20040212	CA 2003-2493618	20030801
WO 2004012659	A2	20040212	WO 2003-US23963	20030801
WO 2004012659	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1534278	A2	20050601	EP 2003-767016	20030801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-399715P P 20020801
WO 2003-US23963 W 20030801

OTHER SOURCE(S): MARPAT 140:163865
GI



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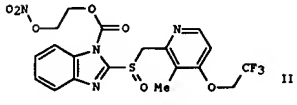


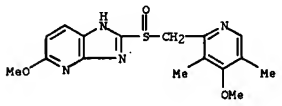
II

AB Title compds. I (12 addnl. Markush structures), [wherein R1 = H, alkoxy, alkyl, alkylthio; R2 = H, halogen, (halo)alkoxy, (alkoxy)alkyl, alkylthio,

L12 ANSWER 32 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
amino, or R2 and R3 taken together with the carbon atoms to which they are attached form a cycloalkyl ring, aryl, or heterocyclic ring; R3, R11 = independently H, alkoxy, alkyl, alkylthio, or R3 and R11 taken together with the carbon chain to which they are attached form cycloalkyl ring, aryl, or heterocyclic ring; R10 = H or R10 and R1 taken together with the carbon chain to which they are attached form cycloalkyl ring; A = SOn, n = 0-2; W1 = CH, N, amino-substituted carbon; W2 = (un)substituted (aza)benzimidazole, 1-phenylimidazolyl, 1-(2-pyridinyl)imidazolyl, thieno[3,4-d]imidazolyl; and pharmaceutically acceptable salts thereof], were prepd. as proton pump inhibitors. For example, reaction of lansoprazole with 2-(nitrooxy)ethyl chloroformate in the presence of NaH in THF at 0 °C gave II in 62%. Thus, I and their pharmaceutical compns. are useful as proton pump inhibitors, that donate, transfer or release nitric oxide, stimulate endogenous synthesis of nitric oxide, elevate endogenous levels of endothelium-derived relaxing factor or are the substrate for nitric oxide synthase. The invention also also provide for novel kits comprising at least one nitrosated proton pump inhibitor compd., and, optionally, at least one nitric oxide donor and/or at least one therapeutic agent. Furthermore, I and their pharmaceutical compns. are also useful for the treatment of gastrointestinal disorders; facilitating ulcer healing; decreasing the recurrence of ulcers; improving gastroprotective properties, anti-Helicobacter pylori properties or antacid properties of proton pump inhibitors; decreasing or reducing the gastrointestinal toxicity assocd. with the use of nonsteroidal antiinflammatory compds.; and treating bacterial infections and/or viral infections (no data).

IT **113712-98-4DP, Tenatoprazole**, nitrosated derivs.
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrosated (pyridylmethylsulfinyl)benzimidazolecarboxylate derivs. as proton pump inhibitors)

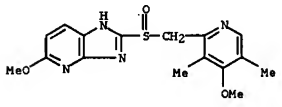
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 33 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
eliminated from a prodrug. It enables prodrug development based on the modification of a nitrogenous heterocycle, etc., with N-(2-acyloxyethyl)-N-methylcarbamoyl groups. For example, 3'-azido-3'-deoxythymidine (zidovudine), N-cyano-N'-methyl-N''-[2-((4-methyl-5-imidazolyl)-methylthio)ethyl]guanidine (cimetidine), (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole [(R)-(+)-lansoprazole], 2-[[(3,5-Dimethyl-4-methoxy-2-pyridyl)methyl]sulfinyl]-5-methoxy-1H-benzimidazole (omeprazole), 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]benzimidazole (rabeprazole), 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-1H-benzimidazole (pantoprazole), or 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-Imidazo[4,5-b]pyridine (**tenatoprazole**) were modified by one of CONMeCH2CH2OCO2Et, CONMeCH2CH2OAc, and CONMeCH2CH2OCO2-(tetrahydropyranyl-4-yl) groups.

IT **113712-98-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nitrogenous heterocycle prodrugs having N-(acyloxyethyl)-N-methylcarbamoyl groups)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



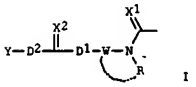
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:42180 CA
TITLE: Preparation of nitrogenous heterocycle prodrugs having N-(2-acyloxyethyl)-N-methylcarbamoyl groups
INVENTOR(S): Kamiyama, Keiji; Banno, Hiroshi; Sato, Fumihiko; Hasuoka, Atsushi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106429	A1	20031224	WO 2003-JP7545	20030613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489470	AA	20031224	CA 2003-2489470	20030613
JP 2004307457	A2	20041104	JP 2003-169308	20030613
EP 1514870	A1	20050316	EP 2003-733425	20030613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
JP 2002-175086 A 20020614
JP 2003-41085 A 20030219
WO 2003-JP7545 W 20030613

OTHER SOURCE(S): MARPAT 140:42180
GI



I

AB Disclosed is a compound having a group represented by the formula (I) [X1, X2 = O, S; W = (un)substituted bivalent hydrocarbon chain, -W1-Z-W2-; wherein W1, W2 = bivalent hydrocarbon chain, a bond; Z = (un)substituted bivalent hydrocarbon ring or heterocyclic ring, O, S, SO, SO2, (un)substituted NH; provided that when Z = O, S, SO, SO2, or (un)substituted NH, then W1, W2 = bivalent hydrocarbon chain; R = H, (un)substituted hydrocarbon group or heterocyclic ring; or R is not H, R may be linked to W; D1, D2 = a bond, O, S, (un)substituted NH; Y = (un)substituted hydrocarbyl or heterocyclyl] as a modifying group to be

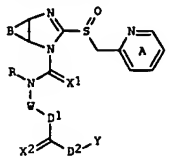
L12 ANSWER 34 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:42178 CA
TITLE: Preparation of prodrugs of benzimidazoles and analogs as proton pump inhibitors for the treatment of peptic ulcers
INVENTOR(S): Kamiyama, Keiji; Banno, Hiroshi; Sato, Fumihiko
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105845	A1	20031224	WO 2003-JP7546	20030613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489361	AA	20031224	CA 2003-2489361	20030613
JP 2004307457	A2	20041104	JP 2003-169308	20030613
EP 1513527	A1	20050316	EP 2003-733426	20030613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011801	A	20050412	BR 2003-11801	20030613

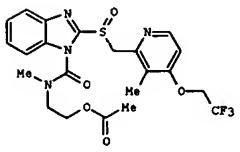
PRIORITY APPLN. INFO.:
JP 2002-175086 A 20020614
JP 2003-41085 A 20030219
WO 2003-JP7546 W 20030613

OTHER SOURCE(S): MARPAT 140:42178
GI

L12 ANSWER 34 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



I



II

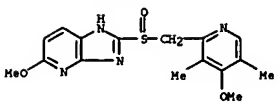
AB Title compds. I [wherein A = (un)substituted pyridine ring; B = (un)substituted benzene or monocyclic aromatic heterocycle; X1 and X2 = O or S; W = W1ZW2; W1 and W2 = independently divalent hydrocarbon chain or a bond; Z = (un)substituted divalent hydrocarbon ring, divalent heterocyclic ring, O, SO0-2, or NE; E = H, alkanoyl, (ar)alkoxycarbonyl, thiocarbamoyl, alkylsulfinyl, alkylsulfonyl, (alkyl)sulfamoyl, arylsulfamoyl, arylsulfinyl, arylsulfonyl, arylcarbonyl, or (un)substituted hydrocarbon, heterocyclyl, or carbamoyl; R = (un)substituted hydrocarbon or heterocyclyl; R and W may be bonded to each other; D1 and D2 = independently a bond, O, S, or NR1; R1 = H or (un)substituted hydrocarbon; Y = (un)substituted hydrocarbon or heterocyclyl; with provisos; and salts thereof] were prepared For example, reaction of bis(trichloromethyl)carbonate with 2-(methylamino)ethyl acetate·HCl in the presence of pyridine in THF, followed by coupling with (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole using a catalytic amount of 4-dimethylaminopyridine and TEA in THF, gave II. Compds. of the invention are proton pump inhibitor prodrugs, which show superior antiulcer activity, gastric acid secretion inhibitory action, mucosa-protecting action, and anti-Helicobacter pylori action (no data).

IT **113712-98-4**, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of prodrugs containing benzimidazoles and analogs as proton pump inhibitors for treatment of peptic ulcers)

RN 113712-98-4 CA

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 34 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 35 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:214237 CA

TITLE: Preparation of nitrate prodrugs able to release nitric oxide in a controlled and selective way and their use for prevention and treatment of inflammatory, ischemic and proliferative diseases

INVENTOR(S): Scaramuzzino, Giovanni

PATENT ASSIGNEE(S): Italy

SOURCE: Eur. Pat. Appl., 313 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

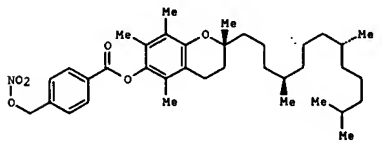
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1336602	A1	20030820	EP 2002-425075	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			EP 2002-425075	20020213

GI



II

AB New pharmaceutical compds. of general formula F-(X)q (I) [q = 1-5, preferably 1; F is chosen among drugs such as δ-tocopherol, clidanac, diethylhomospermine, glucosamine, thymocartin, vofopitant, etc.; X is chosen among 4 groups M, T, V, and Y where M = ONO2, nitrate salt, nitrite ester, ONO, thoinitrite, SNO, etc., T = OR1-M, OR1OR1-M, SR1NR2R1-M, NR2R1-M, NR2R1SR1-M, etc., R1 = saturated or unsatd., linear or branched alkylene, having 1 to 21 carbon atoms or a saturated or unsatd., optionally heterosubstituted or branched cycloalkylene, having 3 to 7 carbon atoms or an optionally heterosubstituted arylene having 3 to 7 carbon atoms; R2 = H, saturated or unsatd., linear or branched 1-21 carbon atom alkyl, saturated or unsatd. optionally heterosubstituted or branched 3-7 carbon cycloalkyl, optionally heterosubstituted 3-7 carbon aryl; R1, R2 = OH, SH, F, Cl, Br, OPO3H2, CO2H, etc.; bond between F and T = carboxylic ester, carboxylic amide, glycoside, azo, thioester, sulfonic ester, etc.; V = Z-M2, OZ-M2, NR2Z-M2, R1Z-M2, OR1-M2, OR1Z-M2, M2 = M, R1-M, OR1-M, SR1-M, NR2R1-M; ZM2 = COCH2CH(M2)CH2N+Me3, COCH2CH2COM2, COCH(NHR2)CH2M2, etc.; Y = 4-COC6H4CH2ONO2, O(CH2)4ONO2, COCH(NH2)CH2ONO2, 3-OC6H4CH2ONO2, etc.] were prepared For example, α-tocopherol reacted with 4-HO2CC6H4CH2ONO2 to give the nitroxymethyl derivative II. The compds. of general formula I are nitrate prodrugs which can release nitric oxide in vivo in a controlled and selective way and without hypotensive side effects and for this reason they are useful for the preparation of medicines for prevention and treatment of inflammatory, ischemic, degenerative and proliferative diseases of musculoskeletal, tegumental, respiratory, gastrointestinal, genito-urinary and central nervous systems.

L12 ANSWER 35 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

IT **586349-19-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrate prodrugs for treating or preventing inflammatory, ischemic, degenerative, and proliferative diseases)

RN 586349-19-1 CA

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, mononitrate (9CI) (CA INDEX NAME)

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CRN 113712-98-4
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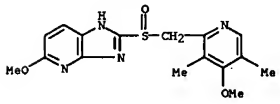


CM 2

CRN 7697-37-2
CMF H N O3

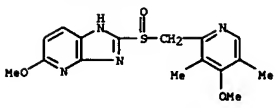
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:326593 CA
TITLE: Granules containing acid-unstable chemicals in large amount
INVENTOR(S): Shimizu, Toshihiro; Nakano, Yoshinori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032953	A1	20030424	WO 2002-JP10720	20021016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463690	AA	20030424	CA 2002-2463690	20021016
JP 2003192579	A2	20030709	JP 2002-301866	20021016
EP 1459737	A1	20040922	EP 2002-775358	20021016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005003005	A1	20050106	US 2004-492690	20040415
PRIORITY APPLN. INFO.:			JP 2001-319444 A	20011017
			WO 2002-JP10720 W	20021016

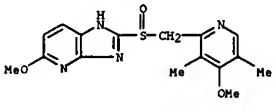
OTHER SOURCE(S): MARPAT 138:326593
AB It is intended to provide prepns. such as capsules containing an acid-unstable chemical (in particular, a benzimidazole compound having an antiulcer effect, etc.) at a high concentration which are prepared by using about 12 % by weight or more (based on the total granules) of the acid-unstable chemical and blending a basic inorg. salt therewith to give granules of about 600 μm or more in the average grain size. Granules were prepared containing lansoprazole 30, sucrose/starch spherical particles 50, MgCO3 10, sucrose 30, starch 14, low-substituted hydroxypropyl cellulose 15, and hydroxypropyl cellulose 1 part. The granules were filled into capsules, which were then coated with enteric-soluble polymethacrylate compns.
IT **113712-98-4**, TU 199
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(granules containing acid-unstable compds. and inorg. salts)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 36 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 37 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:296876 CA
TITLE: **Tenatoprazole**: benatoprazole, TU 199
AUTHOR(S): Anon.
CORPORATE SOURCE: N. Z.
SOURCE: Drugs in R&D (2002), 3(4), 276-277
CODEN: DRDDFD; ISSN: 1174-5886
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Benatoprazole [TU 199; **tenatoprazole**] is an imidazopyridine derivative and a proton pump inhibitor. It is under development with Mitsubishi Pharma Corporation (Mitsubishi Chemical) and Hokuriku Seiyaku (BASF Pharma, now Abbott Labs.) in Japan as an oral antiulcer agent and for the treatment of reflux esophagitis and Zollinger-Ellison syndrome. An application for approval of benatoprazole (formerly **tenatoprazole**) has been registered in Japan. The pharmacodynamics and application in therapy for peptic ulcer disease are discussed.
IT **113712-98-4**, **Tenatoprazole**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacodynamics and antiulcer application of proton pump inhibitor **tenatoprazole** (benatoprazole, TU 199))
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



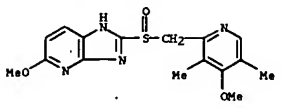
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 38 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:260440 CA
TITLE: Self emulsifying drug delivery system containing NSAIDs
INVENTOR(S): Holmberg, Christina
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022249	A1	20030320	WO 2002-SE1598	20020905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1427392	A1	20040616	EP 2002-765747	20020905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504788	T2	20050217	JP 2003-526379	20020905
US 2004248974	A1	20041209	US 2004-488585	20040304
PRIORITY APPLN. INFO.:			SE 2001-2993 A	20010907
			WO 2002-SE1598 W	20020905

OTHER SOURCE(S): MARPAT 138:260440
AB A pharmaceutical composition suitable for oral administration, in form of an emulsion pre-concentrate, comprises 1 or more NO-releasing NSAID(s), 1 or more surfactants, of which at least one is phospholipid, the composition forming an in-situ oil-in-water emulsion upon contact with gastrointestinal fluids. The composition may optionally also comprise an addnl. oil or semi-solid fat. Further, 1 or more short-chain alcs. can optionally be included in the composition Also within the scope of the invention is a combination with a proton pump inhibitor. The pharmaceutical composition is useful in the treatment of pain and inflammation. Further within the scope of the invention is kit comprising a pharmaceutical composition according to the invention in a unit dosage form, in combination with a proton pump inhibitor, and the proton pump inhibitor is enteric coated. Thus, a formulation contained Lipoid S100 0.30, propylene glycol 0.90, and a NO-releasing NSAID 4.00 g.
IT **113712-98-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(self emulsifying drug delivery system containing NSAIDs)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 38 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 39 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:314438 CA
TITLE: Proteolipid subunits of vacuolar H+-ATPase (ATP6F) as tumor antigens, application to cancer therapy, and use of proton pump inhibitor as anticancer agent
INVENTOR(S): Sato, Nobuo; Suzuki, Nobutaka; Yamaguchi, Masaaki; Yamaguchi, Nobuo; Okuma, Katsuji
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

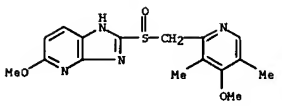
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001286284	A2	20011016	JP 2000-103966	20000405
PRIORITY APPLN. INFO.:			JP 2000-103966	20000405

AB Proteolipid subunits of vacuolar H+-ATPase (V-ATPase) as tumor antigens, use of antibodies and antisense oligonucleotides targeting those antigens as anticancer agent, and use of proton pump inhibitor as anticancer agent, are disclosed. Tumor antigen recognized by monoclonal antibody KCT-1 was isolated from thyroid cancer cell line TPC-1. The amino acid sequence of this antigen named SSY (S-1) was found match that of vacuolar H+-ATPase proteolipid subunit (ATP6F, c'' subunit). The epitope of SSY antigen for KCT-1 antibody was determined SSY antigen was found to strongly expressed in all the cancers examined; thyroid cancer, breast cancer, stomach cancer, esophagus cancer (squamous cell carcinoma), laryngeal cancer, colon cancer, rectal cancer, anal cancer, pancreatic cancer, lung cancer, renal cancer, bladder cancer, ovarian cancer, uterus cancer, cervical cancer, cunnus cancer, skin cancer, melanoma, central or peripheral nervous system cancer, gingival cancer, pharyngeal carcinoma, mediastinal tumor, liver cancer, bile duct cancer (cholangioma), gallbladder cancer, renal pelvis tumor, ureter cancer, testicular cancer, fallopian tube cancer, vaginal cancer, sarcoma, leukemia, erythroleukemia, multiple myeloma, malignant lymphoma, and carcinosarcoma. CDNA for a mouse homolog was cloned. Intradermal, s.c., and oral administration of the antigen in mouse demonstrated antitumor activity and safety. Antitumor activity was also demonstrated by phosphorothioate antisense oligonucleotide. Various inhibitors of V-ATPase, H+/K+-ATPase, and H+/Cl- symporter were found to have antitumor activity.

IT **113712-98-4**, TU-199
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(proteolipid subunits of vacuolar H+-ATPase (ATP6F) as tumor antigens, application to cancer therapy, and use of proton pump inhibitor as anticancer agent)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 39 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 40 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:231708 CA
TITLE: New self emulsifying drug delivery system
INVENTOR(S): Holmberg, Christina; Siekmann, Britta
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

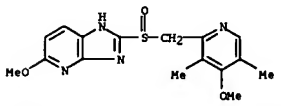
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066088	A1	20010913	WO 2001-SE467	20010306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2401498	AA	20010913	CA 2001-2401498	20010306
EP 1267832	A1	20030102	EP 2001-910305	20010306
EP 1267832	B1	20040602		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009014	A	20030603	BR 2001-9014	20010306
JP 2003525894	T2	20030902	JP 2001-564741	20010306
EE 200200500	A	20040216	EE 2002-500	20010306
AT 268162	E	20040615	AT 2001-910305	20010306
NZ 521009	A	20040625	NZ 2001-521009	20010306
PT 1267832	T	20040930	PT 2001-910305	20010306
ES 2220728	T3	20041216	ES 2001-1910305	20010306
ZA 2002006740	A	20031124	ZA 2002-6740	20020822
US 2003161846	A1	20030828	US 2002-220791	20020905
NO 2002004272	A	20021105	NO 2002-4272	20020906
HK 1050632	A1	20050318	HK 2003-102781	20030416
PRIORITY APPLN. INFO.:			SE 2000-773 A	20000308
			WO 2001-SE467 W	20010306

OTHER SOURCE(S): MARPAT 135:231708

AB The present invention claims and discloses a pharmaceutical composition suitable for oral administration, in form of an emulsion pre-concentrate, comprising: 1 or more NO-releasing NSAID(s), 1 or more surfactants, optionally an addnl. oil or semi-solid fat. The composition forms an in-situ oil-in-water emulsion upon contact with gastrointestinal fluids. The composition may optionally also comprise 1 or more short-chain alcs. Also within the scope of the invention is a combination with a proton pump inhibitor. The pharmaceutical composition is useful in the treatment of pain and inflammation. Further within the scope of the invention is kit comprising a pharmaceutical composition according to the invention in a unit dosage form, in combination with a proton pump inhibitor, and the proton pump inhibitor is enteric coated. Thus, a semisolid formulation contained a NO-releasing NSAID 750, Pluronic F127 450, and omeprazole 20 g.

IT **113712-98-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(self emulsifying drug delivery system)

L12 ANSWER 40 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



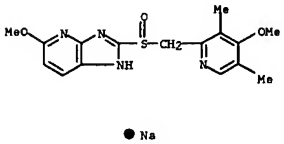
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 41 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:316135 CA
TITLE: Formulation of substituted benzimidazoles
INVENTOR(S): Bruells, Mikael
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 20010028558	A1	20010426	WO 2000-SE1992	20001013
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2425199	AA	20010426	CA 2000-2425199	20001013
BR 2000014895	A	20020618	BR 2000-14895	20001013
TR 200201103	T2	20020821	TR 2002-200201103	20001013
EP 1274427	A1	20030115	EP 2000-973295	20001013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003512327	T2	20030402	JP 2001-531388	20001013
EE 200200204	A	20030415	EE 2002-204	20001013
NZ 518155	A	20040730	NZ 2000-518155	20001013
US 6730685	B1	20040504	US 2000-701714	20001201
BG 106602	A	20021229	BG 2002-106602	20020410
ZA 2002002905	A	20030714	ZA 2002-2905	20020412
NO 2002001860	A	20020521	NO 2002-1860	20020419
PRIORITY APPLN. INFO.:			SE 1999-3831 A	19991022
			WO 2000-SE1992 W	20001013

OTHER SOURCE(S): MARPAT 134:316135
AB The present invention relates to stable liquid formulations that comprise a water free or almost water free, polyethylene glycol solution of sodium or potassium salt of substituted benzimidazoles or their enantiomers as H+,K+-ATPase inhibitors. Alternatively, the sodium or potassium salt of the H+,K+-ATPase inhibitor may be formed in situ in the polyethylene glycol solution by adding sodium or potassium hydroxide together with the active compound The invention is also directed to the preparation of the claimed formulation, use of the stable liquid formulations in medicine and in the treatment of gastrointestinal diseases. For example, omeprazole sodium was formulated in a liquid formulation containing PEG 400. The solution was not sensitive to oxygen in the head space nor to a small water content. The high solubility of omeprazole sodium in PEG is favorable regarding the formulation aspects of a parenteral pharmaceutical product.
IT **335299-59-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L12 ANSWER 41 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liq. formulations of substituted benzimidazoles as proton pump inhibitors for treatment of gastrointestinal diseases)
RN 335299-59-7 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

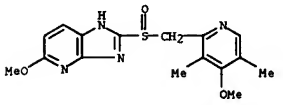
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 42 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:203023 CA
TITLE: Nitrosated and nitrosylated proton pump inhibitors, compositions and methods of use
INVENTOR(S): Garvey, David S.; Letts, L. Gordon; Tam, Sang William; Wang, Tiansheng; Richardson, Stewart K.
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050037	A1	20000831	WO 2000-US2524	20000225
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362930	AA	20000831	CA 2000-2362930	20000225
EP 1154771	A1	20011121	EP 2000-910039	20000225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537336	T2	20021105	JP 2000-600648	20000225
US 6852739	B1	20050208	US 2000-512829	20000225
AU 781133	B2	20050505	AU 2000-32196	20000225
AU 2000032196	A5	20000914		
US 2004266828	A1	20041230	US 2004-866303	20040614
PRIORITY APPLN. INFO.:			US 1999-122111P P	19990226
			US 2000-512829 A3	20000225
			WO 2000-US2524 W	20000225

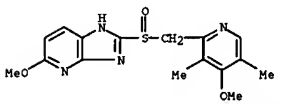
OTHER SOURCE(S): MARPAT 133:203023
AB The invention describes nitrosated and/or nitrosylated proton pump inhibitor compds., as well as compns. comprising ≥1 proton pump inhibitor compound that is optionally substituted with ≥1 NO and/or NO2 group, and, optionally, ≥1 compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, or is a substrate for nitric oxide synthase, and/or ≥1 nonsteroidal antiinflammatory drug, selective COX-2 inhibitor antacid, bismuth-containing reagent, acid-degradable antibacterial compound, and mixts. thereof. The invention also provides methods for treating and/or preventing gastrointestinal disorders; facilitating ulcer healing; decreasing the recurrence of ulcers; improving gastroprotective properties, anti-Helicobacter pylori properties or antacid properties of proton pump inhibitors; decreasing or reducing the gastrointestinal toxicity associated with the use of nonsteroidal antiinflammatory compds.; and treating Helicobacter pylori and viral infections. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit. Preparation of e.g. nitrosylated lansoprazole is described. Compared to lansoprazole, the nitrosylated lansoprazole significantly inhibited the formation of EtOH/HCl-induced gastric lesions.
IT **113712-98-4D**, Tenatoprazole, nitrosated and nitrosylated

L12 ANSWER 42 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nitrosated and nitrosylated proton pump inhibitors, compns., combinations, and methods of use)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 43 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
pyridinebenzimidazoles)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

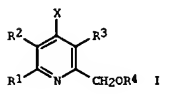


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 43 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:64176 CA
TITLE: Preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles.
INVENTOR(S): Nikolopoulos, Angelo; Schickaneder, Helmut; Kocher, Christian; Murphy, Trevor; Hermann, Gesine
PATENT ASSIGNEE(S): Russinsky Limited, Ire.
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000474	A1	20000106	WO 1999-IE55	19990618
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DE, DK, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9943877	A1	20000117	AU 1999-43877	19990618
PRIORITY APPLN. INFO.:			IE 1998-514	A 19980626
			WO 1999-IE55	W 19990618

OTHER SOURCE(S): CASREACT 132:64176; MARPAT 132:64176
GI



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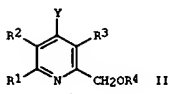
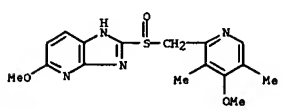


II

AB IkMzAl(OR5)mSn [R1-R3 = H, alkyl, CF3, CHF2, CH2F, alkoxy, alkoxyalkoxy, OCH2CF3; R4 = H, alkyl, PhCH2, AcO, PhCH2O, trialkylsilyl, neg. charge; R5 = alkyl, aryl, CH2CF3, CF3, CHF2, alkylalkoxy; X = halo, NO2, SO3, OH; M = alkaline earth metal, third main group element, transition metal; S = solvent;
k = 1-4; l = 1-3; m = 0-3; n ≥0; z = l+m; with a proviso] and IIkMz(OR5)mSn [Y = alkoxy, aryloxy, OCH2CF3, alkoxyalkoxy, alkylthio, alkylthioalkylthio; z = m; other variables as above], were prepared Thus, 4-nitro-2,3,5-trimethylpyridine N-oxide was heated in HOAc/Ac2O at 20-100° for 1 h to give 88% 2-acetoxymethyl derivative, which was stirred at 10-30° with NaOH in EtOH for 1 h to give 84% 3,5-dimethyl-2-hydroxymethyl-4-nitropyridine (II). II in MeOH was treated with ZnCl2 and with NaOMe in MeOH to give 100% Zn(II)ClOMe.
IT 113712-98-4P, TU-199
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of 2-hydroxymethylpyridine metal complexes as intermediates for

L12 ANSWER 44 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:208915 CA
TITLE: General pharmacological properties of the new proton pump inhibitor (±)-5-methoxy-2-[[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine
AUTHOR(S): Kakinoki, Bunpei; Ono, Chizuko; Yamazaki, Noriyuki; Chikamatsu, Noriko; Wakatsuki, Daisuke; Uchiyama, Kazuyuki; Morinaka, Yasuhiro
CORPORATE SOURCE: Medicinal Research Group II, Kazusa Research Laboratories, Tokyo Tanabe Co., Ltd., Kisarazu, Japan
SOURCE: Methods and Findings in Experimental and Clinical Pharmacology (1999), 21(3), 179-187
CODEN: MFEPDX; ISSN: 0379-0355
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The general pharmacol. profiles of the title compound TU-199 on the central nervous system, cardiorespiratory system, autonomic nervous system, gastrointestinal system and renal functions were investigated. TU-199 had no effects on general signs and behavior in mice. TU-199 (300 mg/kg p.o.) decreased locomotor activity 3 h after administration in mice. TU-199 had no effect on pentobarbital-induced hypnosis, analgesic activity and electroshock-induced convulsion in mice, and on rectal temperature in rats. However, TU-199 (300 mg/kg p.o.) showed slight anticonvulsant activity on pentylenetetrazole-induced convulsion in mice. TU-199 had no effect on respiratory rate, blood pressure, heart rate, femoral blood flow and ECG in anesthetized dogs. TU-199 (10-4 M) caused the cumulative concentration-response curve obtained with acetylcholine in isolated guinea pig
ileum to shift to the right. However, TU-199 showed no effect on contraction of isolated guinea pig ileum and had no effect on intestinal motility in mice, gastric emptying in rats, bile secretion in rats and carbachol-induced salivary secretion in mice. TU-199 had no effect on urinary volume and excretion of electrolytes in rats. These results suggest that TU-199 does not induce serious adverse effects on the central nervous system, cardiorespiratory system, autonomic nervous system, gastrointestinal system and renal functions with the exception of a decrease in spontaneous motor activity with high doses.
IT 113712-98-4, TU-199
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. properties of proton pump inhibitor TU-199)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



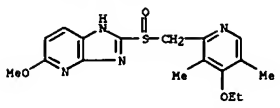
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 45 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:184948 CA
TITLE: Preparation of benzimidazolylsulfinylmethylarylamines as (H+/K+) ATPase inhibitors useful as antiviral agents.
INVENTOR(S): Moormann, Alan E.; Becker, Daniel P.; Flynn, Daniel L.; Li, Hui; Villamil, Clara I.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 54 pp., Cont.-in-part of Ser. No. US 1994-
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5945425	A	19990831	US 1996-737251	19961024
WO 9529897	A1	19951109	WO 1995-US5021	19950501
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 2001047038	A1	20011129	US 2001-885221	20010620
US 6906078	B2	20050614		
PRIORITY APPLN. INFO.:			US 1994-235619	B2 19940429
			WO 1995-US5021	W 19950501
			US 1996-659098	B1 19960604
			US 1999-377888	B1 19990819
			US 2000-605560	B1 20000627

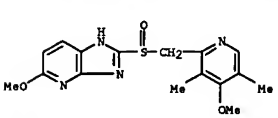
OTHER SOURCE(S): MARPAT 131:184948
AB A method of treating viral infection comprises treatment with R2(CR3R4)pSOm(CR4R5)nR1 [R1 = (substituted) alkoxy, alkoxycarbonyl, dialkylamino, aryl, heteroaryl; R2 = (substituted) heteroaryl; R3-R6 = H, alkyl, aryl, aralkyl; R3R4, R5R6 = cycloalkyl; m, n, p = 0-2]. Thus, 2-mercaptobenzimidazole and 2-aminobenzyl alc. were heated in HOAc/H2SO4 to give 2-[(1H-benzimidazol-2-yl)thiomethyl]benzeneamine. The latter in CHCl3 was treated with 2-[(1H-benzimidazol-2-yl)sulfinylmethyl]benzeneamin e. Title compds. inhibited HCMV replication with EC50 = 13-61 μM.
IT 124899-76-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of benzimidazolylsulfinylmethylarylamines as (H+/K+) ATPase inhibitors useful as antiviral agents)
RN 124899-76-9 CA
CN 1H-Imidazo[4,5-b]pyridine, 2-[[(4-ethoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)

L12 ANSWER 45 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



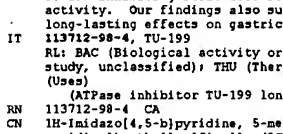
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 46 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:139269 CA
TITLE: Effects of TU-199, a novel H+, K+-ATPase inhibitor, on gastric acid secretion and gastroduodenal ulcers in rats
AUTHOR(S): Uchiyama, Kazuyuki; Wakatsuki, Daisuke; Kakinoki, Bunpei; Takeuchi, Yoshishige; Araki, Tsutomu; Morinaka, Yasuhiro
CORPORATE SOURCE: Medicinal Research Group II, Kazusa Research Laboratories, Tokyo Tanabe Co. Ltd., Chiba, Japan
SOURCE: Methods and Findings in Experimental and Clinical Pharmacology (1999), 21(2), 115-122
CODEN: MFEPDX; ISSN: 0379-0355
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We studied the effects of TU-199, a novel H+, K+-ATPase inhibitor, on gastric acid secretion and gastroduodenal lesions in rats in comparison with those of omeprazole, TU-199 inhibited hog gastric H+,K+-ATPase activity and its potency was almost equal to that of omeprazole (IC50 = 6.2 and 4.2 μM, resp.). In vivo, TU-199 inhibited basal gastric acid secretion in pylorus-ligated rats in a dose-dependent manner (ED50 = 4.2 mg/kg p.o.). In gastric fistula rats, TU-199 (2.5 and 5 mg/kg i.d.) also inhibited gastric acid secretion stimulated by histamine, carbachol or tetragastrin. Furthermore, TU-199 prevented the formation of water-immersion restraint stress-, pylorus ligation- and indomethacin-induced gastric lesions, and mepirizole-induced duodenal ulcer in rats. These antisecretory and antiulcer effects of TU-199 were 2-4 times more potent than those of omeprazole. The results demonstrate that TU-199 potently inhibits the acid secretion and formation of ulcers in various exptl. rat models via an inhibition of H+, K+-ATPase. These findings suggest that TU-199 may have a beneficial effect against peptic ulcer disease in humans.
IT 113712-98-4, TU-199
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of TU-199, a novel H+, K+-ATPase inhibitor, on gastric acid secretion and gastroduodenal ulcers in rats)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 47 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:125259 CA
TITLE: The long-lasting effect of TU-199, a novel H+,K+-ATPase inhibitor, on gastric acid secretion in dogs
AUTHOR(S): Uchiyama, Kazuyuki; Wakatsuki, Daisuke; Kakinoki, Bunpei; Takeuchi, Yoshishige; Araki, Tsutomu; Morinaka, Yasuhiro
CORPORATE SOURCE: Medicinal Research Group II, Kazusa Research Laboratories, Tokyo Tanabe Company Limited, Chiba, 292-0812, Japan
SOURCE: Journal of Pharmacy and Pharmacology (1999), 51(4), 457-464
CODEN: JPPMAB; ISSN: 0022-3573
PUBLISHER: Royal Pharmaceutical Society of Great Britain
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We have used Heidenhain-pouch dogs to investigate the effects of (±)-5-methoxy-2-{[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulphinyl}-1H-imidazo[4,5-b]pyridine (TU-199), an imidazopyridine derivative, on gastric acid secretion stimulated by histamine, carbachol and tetragastrin. We have also investigated the duration of the antisecretory effect of TU-199 using a measurement of intragastric pH for 24 h in gastric fistula dogs whose gastric acid secretion was stimulated by histamine. Single oral administration of TU-199 (0.1, 0.2 and 0.4 mg kg-1) dose-dependently suppressed gastric acid secretion stimulated by histamine infusion. Oral treatment with TU-199 (0.2, 0.4 and 0.8 mg kg-1) also dose-dependently inhibited acid secretion induced by carbachol and tetragastrin. The inhibitory effect of TU-199 on stimulated gastric acid secretion was more potent than that of omeprazole, a well-known H+,K+-ATPase inhibitor in dogs. Repeated oral treatment with TU-199 at a dose of 0.2 mg kg-1 once a day for seven days markedly suppressed histamine-stimulated gastric acid secretion in dogs. This inhibitory effect of TU-199 reached a maximum level after three or four doses and was more pronounced than that of omeprazole or lansoprazole. In gastric fistula dogs, the duration of intragastric pH-elevation by administration of TU-199 (0.3 mg kg-1) was much longer than that of omeprazole (0.6 mg kg-1) or lansoprazole (0.9 mg kg-1). The IC50 values (doses resulting in 50% inhibition) of TU-199, omeprazole and lansoprazole with regard to H+,K+-ATPase activity in dog gastric mucosal microsomes were 8.6, 8.8 and 9.9 μM, resp. These results indicate that TU-199 inhibits gastric acid secretion via suppression of a H+,K+-ATPase activity. Our findings also suggest that TU-199 might have potent and long-lasting effects on gastric acid secretion.
IT 113712-98-4, TU-199
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ATPase inhibitor TU-199 long-lasting effect on gastric acid secretion)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 47 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

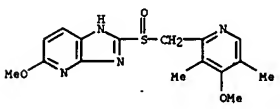
L12 ANSWER 48 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 131:96947 CA
TITLE: Pharmacokinetic studies of (±)-5-methoxy-2-[[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (V). Examination of drug interaction in plasma protein binding
AUTHOR(S): Kinbara, Mihoko; Ishiwata, Tomoe; Morotome, Kazuo
CORPORATE SOURCE: Kazusa Research Laboratories, Tokyo Tanabe Co., Ltd., Yana Kisarazu, 292-0812, Japan
SOURCE: Iyakuhin Kenkyu (1999), 30(3), 128-133
CODEN: IYKEDH; ISSN: 0287-0894
PUBLISHER: Nippon Koteisho Kyokai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The present study was conducted to determine the types of protein to which TU-199 binds, and to examine whether 7 drugs (warfarin, diazepam, digitoxin, nifedipine, phenytoin, tolbutamide and propranolol) compete with TU-199 for binding to human plasma protein. In the evaluation of competitive binding, drugs were generally used at about 3 times their maximum plasma concentration (Cmax) obtained after a single oral administration to humans. 1. TU-199 (5 μg/mL) binding rates with purified human albumin, α1-acidic glycoprotein and γ-globulin were 99.4%, 54.9% and 23.8%, resp. 2. The TU-199 (5 μg/mL) binding rate with human plasma protein was 99.7%. 3. Of the 7 drugs tested, tolbutamide significantly decreased TU-199's plasma protein binding rate from 99.7% to 99.3% at 150 μg/mL, but caused no significant decrease at 50 μg/mL (Cmax). The other 6 drugs had no effect on the binding of TU-199 with plasma protein. 4. TU-199 had no effect on the binding of the 7 drugs with plasma protein.

IT 113712-98-4, TU-199
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process).
(pharmacokinetic studies of (±)-5-methoxy-2-[[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (V). examination of drug interaction in plasma protein binding)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



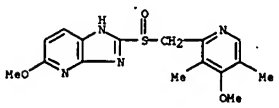
L12 ANSWER 49 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 131:96946 CA
TITLE: Pharmacokinetic studies of (±)-5-methoxy-2-[[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (IV). Plasma concentration of TU-199 in rats and dogs
AUTHOR(S): Saito, Shinko; Sebata, Noriyuki; Ishiwata, Tomoe; Kinbara, Mihoko; Morotome, Kazuo
CORPORATE SOURCE: Kazusa Research Laboratories, Tokyo Tanabe Co., Ltd., Yana Kisarazu, 292-0812, Japan
SOURCE: Iyakuhin Kenkyu (1999), 30(3), 119-127
CODEN: IYKEDH; ISSN: 0287-0894
PUBLISHER: Nippon Koteisho Kyokai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB Plasma concns. of TU-199 were determined after oral, i.v. and intraduodenal administration of TU-199 to rats and dogs. 1. After oral administration of TU-199 to non-fasting male rats at a dose of 2.5 mg/kg, the plasma concentration of TU-199 reached a maximum of 2.19 μg/mL at 0.26 h, and declined exponentially with a half-life of 1.38 h. The bioavailability was 37.2%. In the case of intraduodenal administration, the bioavailability was 76.6%. 2. After oral administration of TU-199 to male rats at the doses of 2.5, 10, and 40 mg/kg, both Cmax and AUC0.apprx.∞ closely proportional to the dose. 3. After oral administration of TU-199 to male rats, the plasma concentration was higher and the bioavailability was about twice as high in fasting rats as compared with non-fasting rats. 4. After oral administration of TU-199 to male rats at a dose of 2.5 mg/kg, once a day for 7 days, the plasma concentration was similar to that after a single dose. 5. After oral administration of TU-199 to female rats, the plasma concentration was higher and T1/2 was longer than in male rats, but bioavailability was similar in both sexes. 6. After oral administration of TU-199 to female dogs, the plasma concentration of TU-199 was similar to that in male dogs. 7. After oral administration of TU-199 to fasting male and female dogs at a dose of 2.5 mg/kg, the plasma concentration of TU-199 reached a maximum of 10.11 μg/mL at 0.53 h, and declined exponentially with the half-life of 1.57 h. The bioavailability was 78.3%.

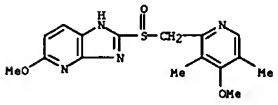
IT 113712-98-4, TU-199
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetic studies of (±)-5-methoxy-2-[[(4-methoxy-3,5-dimethylpyrid-2-yl)methyl]sulfinyl]-1H-imidazo[4, 5-b]pyridine (TU-199). (IV). Plasma concentration of TU-199 in rats and dogs)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

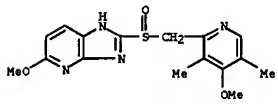


L12 ANSWER 49 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

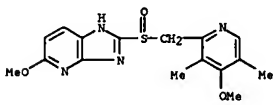
L12 ANSWER 50 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:320329 CA
TITLE: Pharmacokinetic studies of TU-199. (III). Metabolism in rats and dogs
AUTHOR(S): Kurosawa, Satoshi
CORPORATE SOURCE: Tokai Res. Laboratories, Daiichi Pure Chemicals Co., Ltd., Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 2017-2032
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The pharmacokinetics of TU-199 were studied in rats and dogs following oral and i.v. administration. The results are discussed with regard to the metabolic pass way of TU-199.
IT 113712-98-4, TU-199
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetic studies of TU-199. (III). metabolism in rats and dogs)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



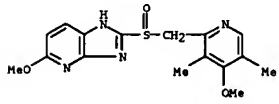
L12 ANSWER 51 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:306367 CA
TITLE: Mutagenicity study on TU-199
AUTHOR(S): Daigo, Hideo; Baba, Katsuyuki; Morotome, Kazuo
CORPORATE SOURCE: Safety Evaluation Group Kazusa Res. Laboratories R & D Div., Tokyo Co., Ltd., Kisarazu shi, Chiba, 292-0812, Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 1979-1992
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB A reverse mutation study using bacteria, a chromosomal aberration study using CHKL/IU cell and micronucleus test on TU-199, an anti-ulcer drug under development were conducted in mice. A reverse mutation study was performed using 5 bacterial strains (Salmonella typhimurium TA98, TA100, TA1535, TA1537 and Escherichia coli WP2 uvrA) by the direct method and the metabolic activation method by including a pre-incubation process. TU-199 did not increase the number of revertant colonies of any strain compared to the neg. controls in either the direct method or the metabolic activation method, indicating that it has no potential to induce reverse mutation. A chromosomal aberration study was performed using a Chinese hamster lung fibroblast cell line (CHL/IU) by the direct method and the metabolic activation method. After treatment with TU-199, the incidence of cells with structurally aberrant chromosomes was less than 5% in both the direct metabolic activation methods, indicating that TU-199 does not induce chromosomal aberration. A micronucleus test was performed by oral administration in 8-wk-old male ICR mice. No significant increase was observed in the incidence of micronuclei in polychromatic or normochromatic erythrocytes after administration of TU-199, indicating that TU-199 does not induce micronuclei under the conditions of the present study. Thus, from the results of these three test, we concluded that TU-199 does not cause mutation.
IT 113712-98-4, TU-199
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(mutagenicity study on TU-199)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 52 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:306366 CA
TITLE: Teratological study by oral administration of TU-199 in rabbits
AUTHOR(S): Umemura, Tatsuo; Ishikura, Toshikazu; Morohashi, Tetsuo; Tamaki, Yasushi; Morotome, Kazuo
CORPORATE SOURCE: Kannami Lab. Bozo Res. Center Inc., Kannami-cho, Tagata-gun, Shizuoka, 419-0101, Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 1969-1978
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB A study was conducted in which TU-199 was administered orally to New Zealand White (Kbl:NZW) SPF rabbits, at dose levels of 2, 10, 5 and 250 mg/kg, once daily for a period of 13 days from day 6 to day 18 of gestation, which corresponds to the period of fetal organogenesis, and the effects on dams and their fetuses were examined 1) Dams: In the dams, no effects from administration of the test article were observed in the 10 mg/kg
and below groups. In the 50 and 250 mg/kg groups, a decrease in or depressed body weight gains were seen during the administration period and food consumption was also low. In the 250 mg/kg group, there was a decrease in the amount of feces and the excretion of reddish brown urine was noted in many animals. There were also some animals which aborted. In addition, in the same group, stomach wts. showed significantly high values. However, in the macropathol. findings and findings at Cesarean section, no effects from administration of the test article were observed 2) Fetuses: For the fetuses, no effects from administration of the test article were seen on survival and growth in any of the treatment groups and no teratogenic effects were observed Based on the above results and under the conditions of this study, the no-effect dose level for TU-199 was determined to
be 10 mg/kg for general toxicol. effects on dams, 50 mg/kg for reproduction, and 250 mg/kg for effects on fetuses, and at 250 mg/kg it was judged to have no teratogenic effects.
IT 113712-98-4, TU-199
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(teratol. study by oral administration of TU-199 in rabbits)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 53 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:306365 CA
TITLE: Teratological study by oral administration of TU 199 in rats
AUTHOR(S): Ishida, Shigeru; Fujioka, Minoru; Morohashi, Tetsuo; Tamaki, Yasushi; Morotome, Kazuo
CORPORATE SOURCE: Gotemba Lab. Bozo Res. Center Inc., Gotemba City Shizuoka, 412-0039, Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 1951-1968
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB A teratol. study was conducted in which TU-199 was administered orally by gavage to Crj:CD (SD) SPF rats, at dose levels of 4, 20, 100 and 500 mg/kg, for an 11-day period from day 7-17 of gestation, and the effects on dams, fetuses and newborn pups were examined 1) Dams: In the general condition, reddish brown urine, thought to be discoloration caused by metabolites, was observed in the 500 mg/kg group. In the body weight and food
consumption, mildly depressed body weight gains and a decrease in food consumption were seen in the 500 mg/kg group during the administration period. In the macropathol. findings and absolute organ wts. at Cesarean section and weaning, no effects from administration of the test article were observed 2) Dams reproductive performance: There were no premature or aborted birth in any of the test groups and no effects from administration of the test article were observed in the Cesarean section data or parturition
and lactation condition. 3) Fetuses: There was no decrease in the implantation index and no increase in the ratio of dead/resorbed fetuses in any of the test groups. In addition, there were no significant differences in the body weight of the live fetuses in each test group and no effects from administration of the test article were observed in the external, visceral and skeletal examns. 4) Newborn pups: No effects from administration of the test article were seen in any of the test groups in the external observation, body weight, viability, external differentiation, visceral examination of stillborn pups and pups that died, macropathol. findings at each stage, functional, behavioral and reproductive performance tests. Based on the above results and under the conditions of this study, it was determined that the general toxicol. no-effect dose level for dams was 100 mg/kg and the no-effect dose level for dams reproductive performance and for fetuses and newborn pups was 500 mg/kg.
IT 113712-98-4, TU 199
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(teratol. study by oral administration of TU 199 in rats)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 53 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

L12 ANSWER 54 OF 64 CA COPYRIGHT 2005 ACS on STN

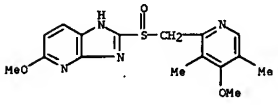
ACCESSION NUMBER: 130:306364 CA
TITLE: Thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in beagle dogs
AUTHOR(S): Okamoto, Masami; Takahashi, Eiji; Akai, Hiroyuki; Tamura, Kazutoshi; Tagishi, Soichiro; Morohashi, Tetuo; Morotome, Kazuo
CORPORATE SOURCE: Kannami Lab. Bozo Res. Center Inc., Kannami-cho, Tagata-gun, Shizuoka, 419-0101, Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 1923-1949
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB A repeat administration toxicity study was conducted in which TU-199 was administered orally by gavage, at dose levels of 0.5, 5, 50 and 500 mg/kg to groups of 6 male and 6 female beagle dogs, daily for 13 wk. For 2 males and 2 females in each group, the drug was withdrawn for 5 wk and the reversibility examined There were no deaths in males or females in the control group nor in any of the treatment groups. In the general condition, a high frequency of vomiting was seen in males and females in the 500 mg/kg group in week 1 or administration, and stool mixed with the test article was seen during the administration period in males and females in the 50 mg/kg and above groups. In the blood chemical, a high value for urea nitrogen was seen in males in the 500 mg/kg group. In the measurement of serum gastrin concentration, high values were seen in males and females in the 5 mg/kg and above groups. In the pathol. examination, changes in the stomach were seen in males and females in the 5 mg/kg and above groups and a change in the thyroid in males and females in the 500 mg/kg group. In the stomach, dilation and hypertrophy of the mucous membrane in the body of the stomach were seen macroscopically, and histol., hypertrophy together with edema and fibrosis of the mucous membrane in the corpus ventriculi, and increase in parietal cells, vacuolation of the parietal cells, dilation of the fundic glands and partial epithelial necrosis in the fundic glands were seen. In the thyroid, hypertrophy of the follicular epithelial cells was seen. No changes thought to be effects from administration of the test article were seen in the body weight, food consumption, urinalysis, hematol., ophthalmol. or electrocardiograms. In the recovery study with withdrawal of the drug for 5 wk, changes were seen only in the stomach and the other changes seen during the administration period were not observed The changes in the stomach were, dilation and hypertrophy of the mucous membrane in the body of the stomach seen macroscopically in the 5 mg/kg and above groups, but histol., only a slight increase in parietal cells was seen in the 50 and 500 mg/kg groups, and the change was considered to be reversible. Based on the above results, the no-effect dose level of TU-199 in a 13 wk repeat administration toxicity study by oral administration in beagle dogs was judged to be 0.5 mg/kg day.

IT **113712-98-4**, TU-199
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in beagle dogs)

L12 ANSWER 54 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



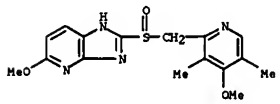
L12 ANSWER 55 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 130:306363 CA
TITLE: Thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in rats
AUTHOR(S): Morohashi, Tetsuo; Tagishi, Soichiro; Sakurada, Hiroshi; Sebata, Noriyuki; Morotome, Kazuo
CORPORATE SOURCE: Safety Evaluation Group Kazusa Res. Laboratories R & D Div., Tokyo Tanabe Co., Ltd., Kisarazu-shi, Chiba, 292-0812, Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 1897-1922
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

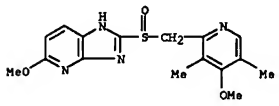
AB A short-term oral toxicity study of TU-199, which is expected to be useful as an anti-peptic ulcer drug, was conducted using rats as a part of its safety evaluation program. TU-199 was orally administered at 10, 30, 100 and 500 mg/kg for 13 wk. Reversibility was evaluated after a 5-wk drug-free rest period. No animal died during the study period and no change attributable to the test material was observed in body weight or food consumption. In the observation of general symptoms and urinalysis, males given 100 mg/kg or greater doses and females given 500 mg/kg showed red-brown urine, which was thought to reflect the color of metabolites. Changes attributable to the test material were observed mainly in the stomach, liver and thyroid. Regarding the stomach, males and females from all treated groups showed increases in weight and eosinophilia of secretory granules associated with hypertrophy of chief cells, changes which were thought to be due to pharmacol. activity of the drug. Males given 100 mg/kg or greater doses and females given 110 mg/kg or greater doses sporadically showed slight single-cell necrosis in the chief cell region. Males given 30 mg/kg or greater doses and females given 100 mg/kg or greater doses showed increases in liver weight and changes such as decreases in transaminase levels and increases in total cholesterol levels. Males and females given 500 mg/kg showed decreases in thyroid colloid. Males given 500 mg/kg also showed decreases in T3 levels and slight anemia. These changes were reversed or showed a tendency to reversal during a 5-wk drug-free rest period, indicating that they are reversible. In conclusion, the toxicol. no-observed effect level in males and females were thought to be 30 mg/kg and 10 mg/kg or below because single-cell necrosis were not observed in the chief cell region.

IT **113712-98-4**, TU-199
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(thirteen-week oral toxicity study followed by five-week recovery study of TU-199 in rats)

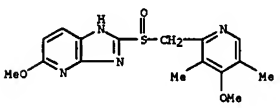
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 56 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:305983 CA
TITLE: Pharmacokinetic studies of TU-199. (II). Absorption, distribution and excretion after multiple administration to rats and transfer into fetus and milk
AUTHOR(S): Esumi, Yoshio
CORPORATE SOURCE: Tokai Res. Laboratories, Daiichi Pure Chemicals Co., Ltd., Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 2007-2016
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The pharmacokinetics of TU-199 were studied in male and pregnant female rats following repeated and single administration, resp., using 14C-TU-199. The results are discussed with regard to tissue distribution and excretion and transfer into the fetus and milk during pregnancy.
IT 113712-98-4, TU-199
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetic studies of TU-199. (II). Absorption, distribution and excretion after multiple administration to rats and transfer into fetus and milk)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 57 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:305982 CA
TITLE: Pharmacokinetic studies of TU-199. (I). Absorption, distribution and excretion after single administration to rats and dogs
AUTHOR(S): Esumi, Yoshio
CORPORATE SOURCE: Tokai Res. Laboratories, Daiichi Pure Chemicals Co., Ltd., Japan
SOURCE: Yakuri to Chiryo (1998), 26(12), 1993-2005
CODEN: YACHDS; ISSN: 0386-3603
PUBLISHER: Raifu Saiensu Shuppan K.K.
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The pharmacokinetics of TU-199 e.g. absorption, distribution and excretion were studied in rats and dogs following oral or i.v. administration of 14C-TU-199.
IT 113712-98-4, TU-199
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetic studies of TU-199. (I). Absorption, distribution and excretion after single administration to rats and dogs)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

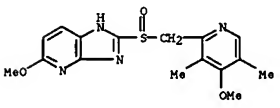


L12 ANSWER 58 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:212082 CA
TITLE: Multiple unit pharmaceutical preparations containing proton pump inhibitor
INVENTOR(S): Bergstrand, Pontus John Arvid; Loevgren, Kurt Ingmar
PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9601624	A1	19960125	WO 1995-SE678	19950607
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2170644	AA	19960125	CA 1995-2170644	19950607
CA 2170995	AA	19960126	CA 1995-2170995	19950607
AU 9529938	A1	19960209	AU 1995-29938	19950607
AU 695971	B2	19980827		
EP 723437	A1	19960731	EP 1995-926055	19950607
EP 723437	B1	20040908		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1134667	A	19961030	CN 1995-190816	19950607
CN 1134668	A	19961030	CN 1995-190819	19950607
JP 09502740	T2	19970318	JP 1995-504249	19950607
HU 75934	A2	19970528	HU 1996-574	19950607
BR 9506028	A	19971014	BR 1995-6028	19950607
EE 3292	B1	20001016	EE 1996-32	19950607
PL 180598	B1	20010330	PL 1995-313388	19950607
RU 2166935	C2	20010520	RU 1996-107040	19950607
SK 283841	B6	20040302	SK 1996-300	19950607
EP 1452172	A2	20040901	EP 2004-11147	19950607
EP 1452172	A3	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
AT 275396	E	20040915	AT 1995-926055	19950607
CZ 294380	B6	20041215	CZ 1996-730	19950607
ES 2227556	T3	20050401	ES 1995-926055	19950607
TW 421599	B	20010211	TW 1995-84106116	19950615
US 5753265	A	19980519	US 1995-464774	19950622
ZA 9505546	A	19960108	ZA 1995-5546	19950704
ZA 9505547	A	19960108	ZA 1995-5547	19950704
IL 114447	A1	20020912	IL 1995-114447	19950704
FI 9601058	A	19960307	FI 1996-1058	19960307
FI 9601059	A	19960307	FI 1996-1059	19960307
NO 9600948	A	19960307	NO 1996-948	19960307
HK 1008298	A1	20050218	HK 1998-109226	19980717
PRIORITY APPLN. INFO.:			SE 1994-2431	A 19940708
			EP 1995-926055	A3 19950607
			WO 1995-SE678	W 19950607

OTHER SOURCE(S): MARPAT 124:212082
AB A new pharmaceutical multiple unit tabletted dosage form containing an acid labile H+K+-ATPase inhibitor or an alkaline salt thereof or one of its single

L12 ANSWER 58 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)
enantiomers or an alk. salt thereof is claimed. Tablet core contg. lansoprazole 400, sugar sphere seeds 400, HPMC 82, Na lauryl sulfate 3, and water 1600 were coated with a sepg. layer in a fluid bed app. contg. talc and Mg stearate and HPMC. An enteric coating soln. cong. methacrylic acid copolymer and polysorbate and glycerides was sprayed onto the pellets covered with sepg. layer in a fluid bed app. Enteric coating layer pellets 82 and microcryst. cellulose 191 g were mixed and compressed into tablets.
IT 113712-98-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multiple unit pharmaceutical prepns. containing proton pump inhibitor)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

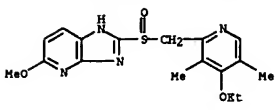


L12 ANSWER 59 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:202255 CA
TITLE: Preparation of sulfur-containing heterocyclic (H+/K+) ATPase inhibitors as antiviral agents
INVENTOR(S): Moormann, Alan E.; Becker, Daniel P.; Flynn, Daniel L.; Li, Hui; Villamil, Clara I.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9529897	A1	19951109	WO 1995-US5021	19950501
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9523950	A1	19951129	AU 1995-23950	19950501
US 5945425	A	19990831	US 1996-737251	19961024
US 2001047038	A1	20011129	US 2001-895221	20010620
US 6906078	B2	20050614		
PRIORITY APPLN. INFO.:			US 1994-235619	A2 19940429
			WO 1995-US5021	W 19950501
			US 1996-659098	B1 19960604
			US 1999-377888	B1 19990819
			US 2000-605560	B1 20000627
OTHER SOURCE(S):	MARPAT 124:202255			

AB The title compds., which are (H+/K+) ATPase inhibitors, useful for the treatment of viral infections, are prepared and formulations containing them are claimed. Thus, 2-[(1H-benzimidazol-2-yl)sulfinylmethyl]-N,N-dimethylbenzenamine, m.p. 107-109°, was prepared and demonstrated a (H+/K+) ATPase IC50 of 0.7 μM.
IT 124899-76-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfur-containing heterocyclic (H+/K+) ATPase inhibitors as antiviral agents)
RN 124899-76-9 CA
CN 1H-Imidazo[4,5-b]pyridine, 2-[[(4-ethoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)

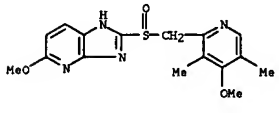
L12 ANSWER 59 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 60 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:179490 CA
TITLE: Stabilized preparations containing antiulcer agents and inorganic salts
INVENTOR(S): Matsushita, Tomohisa; Hashimoto, Akio
PATENT ASSIGNEE(S): Tokyo Tanabe Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07157430	A2	19950620	JP 1994-242687	19941006
PRIORITY APPLN. INFO.:			JP 1994-242687 A	19941006
			JP 1993-254048	19931012

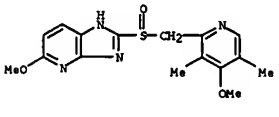
AB Stable prepns. contain acid-labile antiulcer 2-[[(2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridines and basic inorg. salts as stabilizers. TU-199 (1 g) was mixed with 1 g Al(OH)3 gel and left at 40° and 75% relative humidity for 2 wk to show no discoloration.
IT 113712-98-4, TU 199
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilization of antiulcer imidazopyridines by inorg. basic salts)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 61 OF 64 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:65832 CA
TITLE: Tablet containing enteric granules
INVENTOR(S): Matsushita, Tomohisa; Hashimoto, Mitsuo
PATENT ASSIGNEE(S): Tokyo Tanabe Co. Ltd., Japan
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510264	A1	19950420	WO 1994-JP1675	19941006
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2173506	AA	19950420	CA 1994-2173506	19941006
AU 9478222	A1	19950504	AU 1994-78222	19941006
AU 683092	B2	19971030		
EP 723777	A1	19960731	EP 1994-929012	19941006
EP 723777	B1	20020703		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 219931	E	20020715	AT 1994-929012	19941006
PT 723777	T	20021129	PT 1994-929012	19941006
ES 2179079	T3	20030116	ES 1994-929012	19941006
US 5798120	A	19980825	US 1996-624510	19960405
PRIORITY APPLN. INFO.:			JP 1993-254049 A	19931012
			WO 1994-JP1675 W	19941006

AB A tablet comprises enteric granules prepared by tableting a mixture of enteric granules containing a basis with at least one member selected from the group consisting of synthetic hydrotalcite, dried aluminum hydroxide gel, a coppt. of aluminum hydroxide with sodium hydrogencarbonate, aluminum magnesium hydroxide, synthetic aluminum silicate and dihydroxyaluminum aminoacetate. As compared with the conventional tablets containing coated granules, this tablet has the following advantages: the content of enteric granules is increased by using a specified filler; the basis is rapidly dispersed in the granules; the granules have drug-release ability and acid resistance comparable tablet has a high strength. The technique of preparing a tablet having a high enteric granule content has merits of an improved administrability due to a reduced size of the tablet and the applicability to other drugs.
IT 113712-98-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Tablet containing enteric granules comprising hydrotalcite or other substances)
RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 61 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

L12 ANSWER 62 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 120:164168 CA
TITLE: Preparation of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine and its intermediates
INVENTOR(S): Amano, Michiaki; Takeda, Haruki
PATENT ASSIGNEE(S): Tokyo Tanabe Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05222038	A2	19930831	JP 1992-25002	19920212
JP 3158599	B2	20010423		
PRIORITY APPLN. INFO.:			JP 1992-25002	19920212

OTHER SOURCE(S): CASREACT 120:164168
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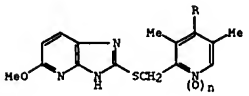
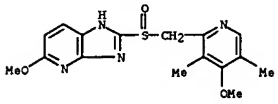


I

AB The title compound (I; R = MeO, n = 0) (II), useful as an intermediate for a known antiulcer agent, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridine, is prepared Thus, 4-chloro-2-chloromethyl-3,5-dimethylpyridine N-oxide was stirred with 2-mercapto-5-methoxyimidazo[4,5-b]pyridine in EtOH at 35° for 2.5 h to give 82% I (R = Cl, n = 1) which was refluxed with NaOMe in MeOH-PhMe for 4 h to give 71% I (R = MeO, n = 1). This was stirred with PCl3 in CH2Cl2 at room temperature for 3 h to give 95% II.

IT 113712-98-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediate for, methoxy[(methoxydimethylpyridyl)methyl]thio]imidazopyridine as)

RN 113712-98-4 CA
CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



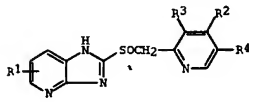
L12 ANSWER 62 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)

L12 ANSWER 63 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 112:77192 CA
TITLE: Preparation of imidazo[4,5-b]pyridines as antiulcer agents and their pharmaceutical compositions
INVENTOR(S): Matsuishi, Naoto; Takeda, Haruki; Iiizumi, Kenichi; Murakami, Seiichi; Hisamitsu, Akira
PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01190682	A2	19890731	JP 1988-10788	19880122
JP 06033261	B4	19940502		
PRIORITY APPLN. INFO.:			JP 1988-10788	19880122

OTHER SOURCE(S): CASREACT 112:77192; MARPAT 112:77192
GI



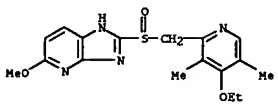
I

AB Title compds. I [R1 = (cyclic alkyl-substituted) C1-4 linear or branched alkoxy, OCH2CF3; R2 = C2-4 linear or branched alkoxy, OCH2CF3; R3, R4 = H, Me], useful as antiulcer agents, are prepared 2-Mercapto-5-methoxyimidazo[4,5-b]pyridine was treated with 2-chloromethyl-4-ethoxy-3,5-dimethylpyridine.HCl in EtOH at 60° for 2 h to give 87.8% 2-[2-(3,5-dimethyl-4-ethoxy)pyridylmethylthio]-5-methoxyimidazo[4,5-b]pyridine (II). Oxidation of II with m-chloroperbenzoic acid in CHCl3 at 0-5° for 10 min gave 80.6% corresponding sulfinyl compound, which at 1 + 10-3 M showed 100% inhibition against (H+ + K+) ATPase, vs. 38.7%, for omeprazole. A tablet formulation was given. Some of I had LD50 of ≥4000 mg/kg and ≥500 mg/kg in rats p.o. and i.p., resp.

IT 124899-76-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiulcer agent)

RN 124899-76-9 CA
CN 1H-Imidazo[4,5-b]pyridine, 2-[[(4-ethoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)

L12 ANSWER 63 OF 64 CA COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 64 OF 64 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 108:150480 CA

TITLE: Preparation, testing, and formulation of pyridylmethylsulfinylimidazopyridines as ulcer inhibitors

INVENTOR(S): Matsuishi, Naoto; Takeda, Haruki; Iizumi, Kenichi; Murakami, Kiyokazu; Hisamitsu, Akira

PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254588	A1	19880127	EP 1987-306570	19870724
EP 254588	B1	19920115		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 63146882	A2	19880618	JP 1987-133534	19870530
JP 06043426	B4	19940608		
AU 8775628	A1	19880128	AU 1987-75628	19870714
AU 598564	B2	19900628		
ZA 8705151	A	19880330	ZA 1987-5151	19870714
CA 1329204	A1	19940503	CA 1987-542637	19870721
HU 46000	A2	19880928	HU 1987-3407	19870724
US 4808596	A	19890228	US 1987-77686	19870724
AT 71626	E	19920215	AT 1987-306570	19870724
ES 2038184	T3	19930716	ES 1987-306570	19870724

PRIORITY APPLN. INFO.:
JP 1986-173551 A 19860725
JP 1987-133534 A 19870530
EP 1987-306570 A 19870724

OTHER SOURCE(S): CASREACT 108:150480; MARPAT 108:150480

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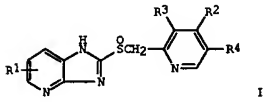


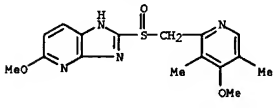
I

AB The title compds. [I; R1 = (cycloalkyl)alkoxy, fluoroalkoxy; R2 = H, Me, MeO; R3,R4 = H, Me] were prepared as ulcer inhibitors. 2-Mercapto-5-methoxyimidazo[4,5-b]pyridino-2-chloromethyl-3,5-dimethylpyridine.HCl, and KOH were refluxed 2 h in EtOH to give 2-[2-(3,5-dimethyl)pyridylmethylthio]-5-methoxyimidazo[4,5-b]pyridine. No procedure was given for oxidation of the latter to the corresponding I. I inhibited gastric acid secretion in rats with ED50's of 9-73 mg/kg orally.

IT 113712-98-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as ulcer inhibitor)

RN 113712-98-4 CA

CN 1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



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L2             1 S TENATOPRAZOLE/CN
L3               STRUCTURE UPLOADED
L4             0 S L3 SAM
L5               STRUCTURE UPLOADED
L6            12 S L5 SAM
L7           197 S L5 FULL

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L9            57 S L3 FULL

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L10           64 S L9
L11           30 S TENATOPRAZOLE
L12           64 S L10 OR L11

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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DICTIONARY FILE UPDATES: 1 AUG 2005 HIGHEST RN 857935-17-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

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SCT ----- Structure Connection Table and map table if it contains
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SDA ----- All Structure DAta (image, attributes, connection table and
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